

W096349  
5574138  
W09623889

W09623068  
W09612017

09/108 673

1. Document ID: US 6114113 A

L5: Entry 1 of 52

File: USPT

Sep 5, 2000

US-PAT-NO: 6114113  
DOCUMENT-IDENTIFIER: US 6114113 A  
TITLE: High efficiency genetic modification method  
DATE-ISSUED: September 5, 2000

US-CL-CURRENT: 435/5; 435/372.3, 435/440, 435/455, 435/456

APPL-NO: 9/ 132541  
DATE FILED: August 11, 1998

PARENT-CASE:

CROSS-REFERENCE TO RELATED APPLICATION This application is related to Provisional patent application Ser. No. 60/055,453, filed Aug. 11, 1997, from which priority is claimed under 35 USC .sctn.119(e)(1) and which application is incorporated herein by reference in its entirety.

AB: A method is provided for producing a population of genetically modified T cells.

In the method, an in vitro population of T cells is activated by contacting said population

with a CD3 binding agent. Genetic modification is then carried out with the activated T cells by contacting the same with a suitable gene transfer vector.

IN: McLaughlin-Taylor; Elizabeth, Kruger; Mark, Lundak; Cheryl, Killion; Catherine

2. Document ID: US 6107062 A

L5: Entry 2 of 52

File: USPT

Aug 22, 2000

US-PAT-NO: 6107062  
DOCUMENT-IDENTIFIER: US 6107062 A  
TITLE: Antisense viruses and antisense-ribozyme viruses  
DATE-ISSUED: August 22, 2000

US-CL-CURRENT: 435/91.41; 435/235.1, 435/236, 435/320.1, 435/456, 536/23.1, 536/23.72, 536/24.5

APPL-NO: 7/ 921104  
DATE FILED: July 30, 1992

AB: Antisense viruses and antisense ribozyme viruses are disclosed. The novel artificial viruses, their synthesis and their use in preventing and treating viral infections are presented.

IN: Hu; Wen, Wang; Jie

3. Document ID: US 6090800 A

L5: Entry 3 of 52

File: USPT

Jul 18, 2000

US-PAT-NO: 6090800  
DOCUMENT-IDENTIFIER: US 6090800 A  
TITLE: Lipid soluble steroid prodrugs  
DATE-ISSUED: July 18, 2000

US-CL-CURRENT: 514/180; 552/574

APPL-NO: 8/ 851780  
DATE FILED: May 6, 1997

AB: The present invention is directed to novel lipid soluble steroid prodrugs compositions comprising steroid prodrugs, and uses of the same.

IN: Unger; Evan C., Shen; DeKang

4. Document ID: US 6087490 A

L5: Entry 4 of 52

File: USPT

Jul 11, 2000

US-PAT-NO: 6087490  
DOCUMENT-IDENTIFIER: US 6087490 A  
TITLE: Dinucleotide and oligonucleotide analogues  
DATE-ISSUED: July 11, 2000

US-CL-CURRENT: 536/25.3; 435/6, 536/22.1, 536/23.1, 536/25.31, 536/25.33, 536/25.34, 536/25.4, 536/25.41, 536/25.6

APPL-NO: 9/ 155198  
DATE FILED: October 8, 1998

FOREIGN-APPL-PRIORITY-DATA:  
COUNTRY

GB	APPL-NO	APPL-DATE
	9606158	March 23, 1996

PCT-DATE:	DATE-FILED	PUB-NO	PUB-DATE	371-DATE	102(E)-DATE
APPL-NO					

PCT/GB97/00651					
	Nov 3, 1997				
	WO97/35869				
	Oct 2, 1997				
	Oct 8, 1998				
	Oct 8, 1998				

AB: A compound which is a dinucleotide analogue of formula ##STR1## or a salt thereof, where B.sup.1 and B.sup.2 are each independently a monovalent nucleoside base

radical, R.sup.1 is hydrogen or Y.sup.1, R.sup.2 and R.sup.3 are each independently hydrogen, halogen, hydroxy or -OY.sup.2, R.sup.4 is hydrogen, halogen, hydroxy, -OY.sup.3 or R.sup.7, R.sup.5 is hydrogen, halogen or R.sup.8, R.sup.6 is hydrogen, Y.sup.4 or a phosphoramidyl group, Z is a group of formula II, III or IV ##STR2## where R.sup.9 is hydrogen, halogen, hydroxy, -OY.sup.5 or R.sup.13, R.sup.10 is hydrogen, halogen or R.sup.14, R.sup.11 is hydroxy, R.sup.15 or -OR.sup.15 where R.sup.15 is a C.sub.1 to C.sub.10 aliphatic group, a C.sub.3 to C.sub.8 cycloaliphatic group, a C.sub.6 to C.sub.10 aromatic group or a C.sub.7 to C.sub.13 araliphatic group, and R.sup.12 is hydrogen, R.sup.12.sub.a or -OCOR.sup.12.sub.a where R.sup.12.sub.a is a C.sub.1 to C.sub.10 aliphatic group, a C.sub.3 to C.sub.8 cycloaliphatic group, a C.sub.6 to C.sub.10 aromatic group or a C.sub.7 to C.sub.13 araliphatic group, Y.sup.1, Y.sup.2, Y.sup.3, Y.sup.4 and Y.sup.5 are each independently a hydroxy-protecting group, and R.sup.7, R.sup.8, R.sup.13 and R.sup.14 are each independently a C.sub.1 to C.sub.10 aliphatic group, a C.sub.3 to C.sub.8 cycloaliphatic group, a C.sub.6 to C.sub.10 aromatic group or a C.sub.7 to C.sub.13 araliphatic group.

IN: Baxter; Anthony David, Baylis; Eric Keith, Collingwood; Stephen Paul, Fairhurst; Robin Alec, Taylor; Roger John

5. Document ID: US 6071495 A

L5: Entry 5 of 52

File: USPT

Jun 6, 2000

US-PAT-NO: 6071495  
DOCUMENT-IDENTIFIER: US 6071495 A  
TITLE: Targeted gas and gaseous precursor-filled liposomes  
DATE-ISSUED: June 6, 2000

US-CL-CURRENT: 424/9.51; 424/450, 424/812, 424/9.52

APPL-NO: 8/ 942862  
DATE FILED: October 2, 1997

PARENT-CASE:  
RELATED APPLICATION This is a divisional of U.S. application Ser. No. 08/487,230, filed Jun. 6, 1995, U.S. Pat. No. 5,853,752, which is a divisional of U.S. application Ser. No. 08/159,687, filed Nov. 30, 1993, now U.S. Pat. No. 5,585,112, issued Dec. 17, 1996, which is a continuation-in-part of U.S. application Ser. No. 08/160,232, filed Nov. 30, 1993, now U.S. Pat. No. 5,542,935, issued Aug. 6, 1996, and U.S. application Ser. No. 08/159,674, filed Nov. 30, 1993, now abandoned, which is a continuation-in-part of U.S. application Ser. No. 08/076,239, filed Jun. 11, 1993, now U.S. Pat. No. 5,469,854, issued Nov. 28, 1995, which is a continuation-in-part of U.S. application Ser. No. 07/717,084, filed Jun. 18, 1991, now U.S. Pat. No. 5,228,446, issued Jul. 20, 1993, and U.S. application Ser. No. 07/716,899, filed Jun. 18,

1991, now abandoned, both of which are a continuation-in-part of U.S. application Ser. No. 07/569,828, filed Aug. 20, 1990, now U.S. Pat. No. 5,088,499, issued Feb. 18, 1992, which is a continuation-in-part of U.S. application Ser. No. 07/455,707, filed Dec. 22, 1989, now abandoned.  
The disclosures of each of these patent applications are incorporated by reference herein in their entirety.

AB: Methods of and apparatus for preparing temperature activated gaseous precursor-filled liposomes are described. Gaseous precursor-filled liposomes prepared by these methods are particularly useful, for example, in ultrasonic imaging applications and in therapeutic drug delivery systems.

IN: Unger; Evan C., Fritz; Thomas A., Matsunaga; Terry, Ramaswami; VaradaRajan, Yellowhair; David, Wu; Guanli

6. Document ID: US 6056938 A

L5: Entry 6 of 52

File: USPT

May 2, 2000

US-PAT-NO: 6056938  
DOCUMENT-IDENTIFIER: US 6056938 A  
TITLE: Cationic lipids and the use thereof  
DATE-ISSUED: May 2, 2000

US-CL-CURRENT: 424/1.21; 424/283.1, 424/450, 436/71, 530/300, 536/23.1

APPL-NO: 9/ 073181  
DATE FILED: May 5, 1998

PARENT-CASE:  
CROSS-REFERENCE TO RELATED APPLICATIONS This application is a divisional of U.S. application Ser. No. 08/391,938, filed Feb. 21, 1995, now U.S. Pat. No. 5,830,430.

AB: Cationic lipid compounds which comprise at least two cationic groups. The cationic lipid compounds are particularly suitable for use as carriers in the intracellular delivery of bioactive agents, including pharmaceuticals and genetic material. Compositions of the present cationic lipid compounds include suspensions, emulsions, micelles and liposomes.

IN: Unger; Evan C., Shen; Dekang, Wu; Guanli

7. Document ID: US 6048725 A

L5: Entry 7 of 52

File: USPT

Apr 11, 2000

US-PAT-NO: 6048725  
DOCUMENT-IDENTIFIER: US 6048725 A  
TITLE: Recombinant human immunodeficiency virus producing cell lines  
DATE-ISSUED: April 11, 2000

US-CL-CURRENT: 435/366; 424/93.2, 424/93.21, 424/93.6, 424/93.7,  
435/320.1, 435/455, 435/457,  
435/465

APPL-NO: 8/ 913705  
DATE FILED: September 12, 1997

FOREIGN-APPL-PRIORITY-DATA:  
COUNTRY

APPL-NO	APPL-DATE
JP	
7-097410	March 17, 1995

PCT-DATA:  
APPL-NO

DATE-FILED	PUB-NO	PUB-DATE	371-DATE	102(E)-DATE
PCT/JP96/00653				
Mar 15, 1996				
WO96/29393				
Sep 26, 1996				
Sep 12, 1997				
Sep 12, 1997				

AB: Recombinant human immunodeficiency virus producing cell that is obtained by introducing into an animal cell a recombinant human immunodeficiency virus helper plasmid containing at least the sequences of gag, pol and env genes encoded by a human immunodeficiency virus genome and being deficient of a packaging signal and which sustains said genes stably. The human immunodeficiency virus producing cell of the invention is capable of large-scale and consistent preparation of HIV vectors more efficiently than in the prior art.

IN: Shimada; Takashi, Akiyama; Katsuhiko, Kuma; Hidekazu, Suzuki; Yosuke

8. Document ID: US 6034233 A

L5: Entry 8 of 52

File: USPT

Mar 7, 2000

US-PAT-NO: 6034233  
DOCUMENT-IDENTIFIER: US 6034233 A  
TITLE: 2'-O-alkylated oligoribonucleotides and phosphorothioate analogs complementary to portions of the HIV genome  
DATE-ISSUED: March 7, 2000

US-CL-CURRENT: 536/24.5

APPL-NO: 7/ 794396  
DATE FILED: November 19, 1991

PARENT-CASE:

This application is a continuation in part of Ser. No. 518,929, filed May 4, 1990, now abandoned;  
and Ser. No. PCT/US91/02558, filed Apr. 15, 1991.

AB: Methods of modulating the activity of the TAR element of HIV are provided.

Oligonucleotides having 6 to 50 bases and at least one 2'-O alkyl modification and selected sequences are disclosed.

IN: Ecker; David, Vickers; Timothy A.

9. Document ID: US 6028066 A

L5: Entry 9 of 52

File: USPT

Feb 22, 2000

US-PAT-NO: 6028066  
DOCUMENT-IDENTIFIER: US 6028066 A  
TITLE: Prodrugs comprising fluorinated amphiphiles  
DATE-ISSUED: February 22, 2000

US-CL-CURRENT: 514/180; 514/169, 552/507

APPL-NO: 8/ 887215  
DATE FILED: July 2, 1997

PARENT-CASE:

RELATED APPLICATIONS This is a continuation-in-part of U.S. application Ser. No. 08/851,780, filed May 6, 1997, the disclosure of which is hereby incorporated by reference herein in its entirety.

AB: The present invention describes, inter alia, novel prodrugs comprising fluorinated amphiphiles, compositions comprising the novel prodrugs, and methods of use of the prodrugs and compositions.

IN: Unger; Evan C.

10. Document ID: US 6013526 A

L5: Entry 10 of 52

File: USPT

Jan 11, 2000

US-PAT-NO: 6013526  
DOCUMENT-IDENTIFIER: US 6013526 A  
TITLE: Modified protein for gene transfer and process for producing the same  
DATE-ISSUED: January 11, 2000

US-CL-CURRENT: 435/455; 424/93.21, 435/193, 435/320.1, 435/325,

435/69.1, 514/44, 530/395,  
536/23.1

APPL-NO: 8/ 927087  
DATE FILED: September 10, 1997

PARENT-CASE:

This application is a continuation of U.S. Ser No. 08/536,280, filed Sep. 29, 1995, abandoned, which claimed priority to Japanese application 6-270102, filed Sep. 29, 1996.

FOREIGN-APPL-PRIORITY-DATA:

COUNTRY

APPL-NO

APPL-DATE

JP

6-270102

September 29, 1994

AB: The present invention relates to a process for producing a conjugate of a biologically active peptide or protein having at least one glutamine residue with a high-molecular weight substance containing an amino group. The present invention also relates to a composite of this conjugate with a nucleic acid adsorbed thereto for transferring nucleic acids to mammalian cells.

IN: Takahara, Yoshiyuki, Yamada; Naoyuki, Motoki; Masao

12. Document ID: US 5990088 A

L5: Entry 12 of 52

File: USPT

Nov 23, 1999

US-PAT-NO: 5990088

DOCUMENT-IDENTIFIER: US 5990088 A

TITLE: Method for treating kaposi's sarcoma with antisense oligonucleotides

DATE-ISSUED: November 23, 1999

US-CL-CURRENT: 514/44; 435/366, 536/24.5

APPL-NO: 8/ 463978

DATE FILED: June 5, 1995

PARENT-CASE:

This application is a divisional of application Ser. No. 08/072,575, filed Jun. 4, 1993, abandoned.

AB: The present invention relates to a method to treat Kaposi's sarcoma (KS), and particularly, human immunodeficiency virus associated KS through the administration of antisense oligonucleotides complementary to basic fibroblast growth factor RNA.

IN: Ensoli; Barbara, Gallo; Robert C.

11. Document ID: US 5997898 A

L5: Entry 11 of 52

File: USPT

Dec 7, 1999

US-PAT-NO: 5997898

DOCUMENT-IDENTIFIER: US 5997898 A

TITLE: Stabilized-compositions of fluorinated amphiphiles for methods of therapeutic delivery

DATE-ISSUED: December 7, 1999

US-CL-CURRENT: 424/450; 424/489, 424/499, 424/502, 424/9.51, 424/9.52, 514/962, 514/963

APPL-NO: 8/ 465868

DATE FILED: June 6, 1995

AB: Stabilized compositions comprising, in combination with a gas, a fluorinated amphiphilic compound. The compositions are particularly suitable for use in diagnostic applications, including ultrasound. The compositions can take the form of vesicular compositions, such as micelles and liposomes.

IN: Unger; Evan C.

13. Document ID: US 5981259 A

L5: Entry 13 of 52

File: USPT

Nov 9, 1999

US-PAT-NO: 5981259

DOCUMENT-IDENTIFIER: US 5981259 A

TITLE: CD4+ T-lymphocyte protease genes and inhibitors thereof

DATE-ISSUED: November 9, 1999

US-CL-CURRENT: 435/235.1; 435/252.3, 435/325, 514/44, 536/24.5

APPL-NO: 8/ 976838

DATE FILED: November 24, 1997

PARENT-CASE:

CROSS-REFERENCE TO RELATED APPLICATIONS The present application is a continuation-in-part of U.S. patent application Ser. No. 08/525,940, entitled "CD4+ T-Lymphocyte Proteases and Genes Encoding said Proteases", filed Sep. 8, 1995, issued as U.S. Pat. No. 5,866,351 on Feb. 2, 1999, and a continuation-in-part of U.S. patent application Ser. No. 08/368,852, entitled "CD4+ T-Lymphocyte Proteases and Genes Encoding said Proteases", filed Jan. 5, 1995, which issued as U.S. Pat. No. 5,691,183, on Nov. 25, 1997, both of which are incorporated herein by reference in their entireties. Ser. No. 08/525,940, U.S. Pat. No. 5,866,351 is a continuation-in-part of Ser. No. 08/368,852, U.S. Pat. No. 5,691,183, which is a continuation-in-part of U.S. patent application Ser. No. 08/088,322, entitled "Yeast Assay to Identify Inhibitors of Dibasic Amino Acid Processing Endoproteases", filed Jul. 7, 1993, which issued as U.S. Pat. No. 5,413,914, on May 9,

1995. Ser. No. 08/525,940, U.S. Pat. No. 5,866,351 is also a continuation-in-part of U.S. patent application Ser. No. 08/340,185, entitled "Yeast-Based Delivery Vehicles", filed Nov. 15, 1994, which issued as U.S. Pat. No. 5,830,463 on Nov. 3, 1998. Ser. No. 08/340,185, U.S. Pat. No. 5,830,463 is a continuation-in-part of Ser. No. 08/088,322 U.S. Pat. No. 5,413,914.

AB: The present invention includes the identification and isolation of a nucleic acid molecule encoding a dibasic amino acid processing endoprotease from CD4+ T-lymphocytes as well as a protein encoded by that nucleic acid molecule. The present invention also includes related nucleic acid molecules and proteins encoded by such nucleic acid molecules as well as recombinant molecules and recombinant cells that include nucleic acid molecules of the present invention. The present invention also includes use of such nucleic acid molecules and proteins to develop therapeutic compositions that enhance or inhibit dibasic amino acid processing endoprotease activity.

IN: Franzusoff; Alex

14. Document ID: US 5958768 A

L5: Entry 14 of 52

File: USPT

Sep 28, 1999

US-PAT-NO: 5958768  
DOCUMENT-IDENTIFIER: US 5958768 A  
TITLE: Chimeric antiviral agents comprising Rev binding nucleic acids and trans-acting ribozymes, and molecules encoding them  
DATE-ISSUED: September 28, 1999

US-CL-CURRENT: 435/372.3; 435/320.1, 435/325, 435/366, 435/455, 536/24.5

APPL-NO: 8/ 697324  
DATE FILED: August 23, 1996

PARENT-CASE:  
CROSS REFERENCE TO RELATED APPLICATIONS This application claims priority to provisional application U.S. Ser. No. 60/002,793, by Kraus et al., filed Aug. 25, 1995, entitled "Chimeric Antiviral Agents Which Incorporate Rev Binding Nucleic Acids" which is incorporated herein by reference in its entirety for all purposes.

AB: Methods and compositions for the treatment and diagnosis of infections of Rev-binding primate lentiviruses are provided. These methods and compositions utilize the ability of Rev binding nucleic acids such as the SLII sequence from the HIV-1 Rev response element (RRE) to target therapeutic agents to the same sub-cellular location as primate lentiviruses which contain RRE sequences. In particular, the invention provides trans-acting ribozymes comprising Rev-binding nucleic acids less toxic than a full-length RRE, and molecules encoding them. The use of the compositions of the invention as components of

diagnostic assays, as prophylactic reagents, and in vectors is also described.

IN: Kraus; Gunter, Wong-Staal; Flossie, Yu; Mang, Yamada; Osamu

15. Document ID: US 5935553 A

L5: Entry 15 of 52

File: USPT

Aug 10, 1999

US-PAT-NO: 5935553  
DOCUMENT-IDENTIFIER: US 5935553 A  
TITLE: Methods of preparing gas-filled liposomes  
DATE-ISSUED: August 10, 1999

US-CL-CURRENT: 424/9.51; 424/450, 424/9.52, 600/458

APPL-NO: 8/ 758179  
DATE FILED: November 25, 1996

PARENT-CASE:  
RELATED APPLICATION This application is a division of application Ser. No. 08/471,250 filed Jun. 6, 1995, now U.S. Pat. No. 5,715,824, which is a division of application Ser. No. 08/076,239 filed Jun. 11, 1993, now U.S. Pat. No. 5,469,854, which is a continuation-in-part of application Ser. No. 07/716,899 filed Jun. 18, 1991, now abandoned, and application Ser. No. 07/717,084 filed Jun. 18, 1991, now U.S. Pat. No. 5,228,446, which are continuations-in-part of application Ser. No. 07/569,828 filed Aug. 20, 1990, now U.S. Pat. No. 5,088,499, which is a continuation-in-part of application Ser. No. 07/455,707 filed Dec. 22, 1989, now abandoned, the disclosures of which are herein incorporated by reference in their entirety.

AB: Methods of and apparatus for preparing gas-filled liposomes are described. Gas-filled liposomes prepared by these methods are particularly useful, for example, in ultrasonic imaging applications and in therapeutic drug delivery systems.

IN: Unger; Evan C., Fritz; Thomas A., Matsunaga; Terry, Ramaswami; VaradaRajan, Yellowhair; David, Wu; Guanli

16. Document ID: US 5932467 A

L5: Entry 16 of 52

File: USPT

Aug 3, 1999

US-PAT-NO: 5932467  
DOCUMENT-IDENTIFIER: US 5932467 A  
TITLE: Retroviral vectors pseudotyped with SRV-3 envelope glycoprotein sequences  
DATE-ISSUED: August 3, 1999

US-CL-CURRENT: 435/235.1; 424/207.1, 424/93.2, 435/236, 435/320.1, 435/325, 435/366, 435/69.6

APPL-NO: 8/ 726346  
DATE FILED: October 3, 1996

PARENT-CASE:  
CROSS-REFERENCE TO RELATED APPLICATION This application is related to provisional patent application Ser. No. 60/004,829, filed Oct. 5, 1995, from which priority is claimed under 35 U.S.C. § 119(e)(1) and which is incorporated herein by reference in its entirety.

AB: Cells producing recombinant retroviral particles are provided. The cells contain a first vector having a coding region encoding retroviral LTRs and a packaging signal under the control of an expression control system, a tRNA binding site located upstream from the packaging signal and origin of second strand DNA synthesis located downstream from the packaging signal. The cells also contain a second vector having a coding region encoding retroviral capsid proteins gag and pol under the control of an expression control system and a third vector having a coding region encoding a simian type D retrovirus envelope glycoprotein under the control of an expression control system.

IN: Khan; Mohammad Ayub, Ralston; Robert O., Murphy; John E.

17. Document ID: US 5853752 A

L5: Entry 17 of 52

File: USPT

Dec 29, 1998

US-PAT-NO: 5853752  
DOCUMENT-IDENTIFIER: US 5853752 A  
TITLE: Methods of preparing gas and gaseous precursor-filled microspheres  
DATE-ISSUED: December 29, 1998

US-CL-CURRENT: 424/450; 264/4.1, 264/4.3, 264/4.6, 424/1.21, 424/489, 424/9.321, 424/9.51, 436/829

APPL-NO: 8/ 487230  
DATE FILED: June 6, 1995

PARENT-CASE:  
This is a divisional of application Ser. No. 08/159,687, filed Nov. 30, 1993, issued as U.S. Pat. No. 5,585,112; which is a continuation-in-part of application Ser. No. 08/160,232, filed Nov. 30, 1993, issued as U.S. Pat. No. 5,542,935, and application Ser. No. 08/159,674, filed Nov. 30, 1993, now abandoned; which are a continuation-in-part of application Ser. No. 08/076,239, filed Jun. 11, 1993, issued as U.S. Pat. No. 5,469,854; which is a continuation-in-part of application Ser. No. 07/717,084, filed Jun. 18, 1991, issued as U.S. Pat. No. 5,228,446, and application Ser. No. 07/716,899, filed Jun. 18, 1991, now abandoned; which are a continuation-in-part of application Ser. No. 07/569,828 filed Aug. 20, 1990, issued as U.S. Pat. No. 5,088,499; which is a continuation-in-part of application Ser. No. 07/455,707, filed Dec. 22,

1989, now abandoned.  
The disclosures of each of these.

AB: Methods of and apparatus for preparing temperature activated gaseous precursor-filled liposomes are described. Gaseous precursor-filled liposomes prepared by these methods are particularly useful, for example, in ultrasonic imaging applications and in therapeutic drug delivery systems.

IN: Unger; Evan C., Fritz; Thomas A., Matsunaga; Terry, Ramaswami; VaradaRajan, Yellowhair; David, Wu; Guanli

18. Document ID: US 5830430 A

L5: Entry 18 of 52

File: USPT

Nov 3, 1998

US-PAT-NO: 5830430  
DOCUMENT-IDENTIFIER: US 5830430 A  
TITLE: Cationic lipids and the use thereof  
DATE-ISSUED: November 3, 1998

US-CL-CURRENT: 424/1.21; 424/283.1, 424/450, 436/71, 436/829, 530/300, 536/23.1

APPL-NO: 8/ 391938  
DATE FILED: February 21, 1995

AB: Cationic lipid compounds which comprise at least two cationic groups. The cationic lipid compounds are particularly suitable for use as carriers in the intracellular delivery of bioactive agents, including pharmaceuticals and genetic material. Compositions of the present cationic lipid compounds include suspensions, emulsions, micelles and liposomes.

IN: Unger; Evan C., Shen; Dekang, Wu; Guanli

19. Document ID: US 5831068 A

L5: Entry 19 of 52

File: USPT

Nov 3, 1998

US-PAT-NO: 5831068  
DOCUMENT-IDENTIFIER: US 5831068 A  
TITLE: Method to increase the density of antigen on antigen presenting cells  
DATE-ISSUED: November 3, 1998

US-CL-CURRENT: 536/24.5; 424/278.1, 435/325, 435/343.2, 435/375

APPL-NO: 8/ 700035  
DATE FILED: August 20, 1996

PARENT-CASE:  
CROSS-REFERENCE TO RELATED APPLICATION This application is a continuation-in-part of U.S. application Ser. No. 08/517,373, filed Aug. 21, 1995 now abandoned.

AB: Disclosed is a method for presenting an antigen in the form of a peptide on the surface of a cell. The method involves inhibiting the activity of an MHC class I pathway-associated component (e.g., a TAP protein or a proteasome or its components) in a cell and contacting the cell with an antigenic peptide to produce a potent antigen presenting cell. The antigen presenting cells of the invention can be administered to a mammal in a method of treating or preventing cancer or infection with a pathogen (e.g., a bacterium or virus). If desired, the antigen presenting cells can be used to stimulate CTL proliferation in vitro, and the resulting effector cells can subsequently be administered to a mammal in a method of therapy.

IN: Nair; Smita K., Gilboa; Eli

20. Document ID: US 5824538 A

L5: Entry 20 of 52

File: USPT

Oct 20, 1998

US-PAT-NO: 5824538  
DOCUMENT-IDENTIFIER: US 5824538 A  
TITLE: Shigella vector for delivering DNA to a mammalian cell  
DATE-ISSUED: October 20, 1998

US-CL-CURRENT: 435/252.1; 424/93.2, 435/245, 435/252.3, 435/455, 435/822

APPL-NO: 8/ 523855  
DATE FILED: September 6, 1995

AB: We describe a bacterial delivery system for the delivery of DNA and antigens into cells. We constructed an attenuated bacterial vector which enters mammalian cells and ruptures delivering functional plasmid DNA, such as a mammalian expression plasmid, and antigens into the cell cytoplasm. This Shigella vector was designed to deliver DNA to colonic surfaces, thus opening the possibility of oral and other mucosal DNA immunization and gene therapy strategies. The attenuated Shigella is also useful as a vaccine for reducing disease symptoms caused by Shigella.

IN: Branstrom; Arthur A., Sizemore; Donata R., Sadoff; Jerald C.

21. Document ID: US 5770222 A

L5: Entry 21 of 52

File: USPT

Jun 23, 1998

US-PAT-NO: 5770222  
DOCUMENT-IDENTIFIER: US 5770222 A  
TITLE: Therapeutic drug delivery systems  
DATE-ISSUED: June 23, 1998

US-CL-CURRENT: 424/450; 264/4.1, 264/4.3, 264/4.6, 424/1.21, 424/489, 424/9.321, 424/9.51, 436/829

APPL-NO: 8/ 472305  
DATE FILED: June 7, 1995

PARENT-CASE:  
RELATED APPLICATIONS This application is a divisional of U.S. Ser. No. 076,250 filed Jun. 11, 1993, now U.S. Pat. No. 5,580,575, which in turn is a continuation-in-part of applications U.S. Ser. Nos. 716,899 and 717,084, each filed Jun. 18, 1991, now abandoned and U.S. Pat. No. 5,228,446 respectively, which in turn are continuations-in-part of U.S. Ser. No. 569,828, filed Aug. 20, 1990, now U.S. Pat. No. 5,088,499, which in turn is a continuation-in-part of application U.S. Ser. No. 455,707, filed Dec. 22, 1989, now abandoned, the disclosures of each of which are hereby incorporated herein by reference in their entirety.

AB: Therapeutic drug delivery systems comprising gas-filled microspheres comprising a therapeutic are described. Methods for employing such microspheres in therapeutic drug delivery applications are also provided. Drug delivery systems comprising gas-filled liposomes having encapsulated therein a drug are preferred. Methods of and apparatus for preparing such liposomes and methods for employing such liposomes in drug delivery applications are also disclosed.

IN: Unger; Evan C., Fritz; Thomas A., Matsunaga; Terry, Ramaswami; VaradaRajan, Yellowhair; David, Wu; Guanli

22. Document ID: US 5767102 A

L5: Entry 22 of 52

File: USPT

Jun 16, 1998

US-PAT-NO: 5767102  
DOCUMENT-IDENTIFIER: US 5767102 A  
TITLE: Antisense composition and method for treatment of CMV infection  
DATE-ISSUED: June 16, 1998

US-CL-CURRENT: 514/44; 435/6, 435/91.1, 536/23.1, 536/24.3, 536/24.5

APPL-NO: 8/ 784498  
DATE FILED: January 17, 1997

PARENT-CASE:  
This is a continuation of application Ser. No. 08/233,711, filed Apr. 26, 1994, now U.S. Pat. No. 5,335,978, which is a continuation in part of Ser. No. 07/568,366 filed Aug. 16, 1990, now

abandoned; Ser. No. PCT/US91/05815 filed Aug. 14, 1991; Ser. No. 07/927,506 filed Nov. 19, 1992, now U.S. Pat. No. 5,591,720; and Ser. No. 08/009,263 filed Jan. 25, 1993, now U.S. Pat. No. 5,442,049, each of which is assigned to the same assignee as the instant application and is incorporated by reference herein.

**AB:** This invention concerns compositions and methods for the treatment of CMV infections. A composition including an antisense oligonucleotide targeted to the IE2 gene of CMV and a pharmaceutically acceptable carrier were used stop progression in CMV retinitis.

**IN:** Draper, Kenneth G., Chapman, Sharon K., Kisner, Daniel L.

23. Document ID: US 5733572 A

L5: Entry 23 of 52

File: USPT

Mar 31, 1998

**US-PAT-NO:** 5733572  
**DOCUMENT-IDENTIFIER:** US 5733572 A  
**TITLE:** Gas and gaseous precursor filled microspheres as topical and subcutaneous delivery vehicles  
**DATE-ISSUED:** March 31, 1998

**US-CL-CURRENT:** 424/450; 424/1.21, 424/489, 424/9.321, 424/9.4, 436/829

**APPL-NO:** 8/ 346426  
**DATE FILED:** November 29, 1994

**PARENT-CASE:**  
**REFERENCE TO COPENDING APPLICATIONS** This application is a continuation-in-part of application U.S. Ser. No. 159,674, filed Nov. 30, 1993 now abandoned, which in turn is a continuation-in-part of applications U.S. Ser. No. 076,239, now U.S. Pat. No. 5,469,854 and U.S. Ser. No. 076,250 now U.S. Pat. No. 5,580,575, both of which were filed Jun. 11, 1993, which in turn are continuation-in-parts of applications U.S. Ser. No. 717,084, now U.S. Pat. No. 5,228,446 and U.S. Ser. No. 716,899, now abandoned, both of which were filed Jun. 18, 1991, which in turn are continuation-in-parts of application U.S. Ser. No. 569,828, filed Aug. 20, 1990 now U.S. Pat. No. 5,088,499 which in turn is a continuation-in-part of application U.S. Ser. No. 455,707, filed Dec. 22, 1989, now abandoned. This application is also a continuation-in-part of application U.S. Ser. No. 307,305, filed Sep. 16, 1994, pending, and applications U.S. Ser. No. 159,687, now U.S. Pat. No. 5,585,112 and U.S. Ser. No. 160,232, now U.S. Pat. No. 5,542,935 both of which were filed Nov. 30, 1993, which in turn are continuation-in-parts, respectively, of applications U.S. Ser. No. 076,239, now U.S. Pat. No. 5,469,854 and U.S. Ser. No. 076,250, now U.S. Pat. No. 5,580,575 both of which were filed Jun. 11, 1993.

**AB:** Gas and gaseous precursor filled microspheres, and foams thereof, provide novel topical and subcutaneous delivery vehicles for various active ingredients, including drugs

and cosmetics.

**IN:** Unger, Evan C., Matsunaga, Terry O., Yellowhair, David

24. Document ID: US 5715824 A

L5: Entry 24 of 52

File: USPT

Feb 10, 1998

**US-PAT-NO:** 5715824  
**DOCUMENT-IDENTIFIER:** US 5715824 A  
**TITLE:** Methods of preparing gas-filled liposomes  
**DATE-ISSUED:** February 10, 1998

**US-CL-CURRENT:** 424/9.51; 264/4.1

**APPL-NO:** 8/ 471250  
**DATE FILED:** June 6, 1995

**PARENT-CASE:**  
**RELATED APPLICATION:** This is a division of application Ser. No. 08/076,239, filed Jun. 11, 1993, and now U.S. Pat. No. 5,469,854, which application is a continuation-in-part of application U.S. Ser. No. 07/717,084 and U.S. Ser. No. 07/716,899, both of which were filed Jun. 18, 1991, which in turn are a continuation-in-part of U.S. Ser. No. 07/569,828, filed Aug. 20, 1990, which in turn is a continuation-in-part of application U.S. Ser. No. 07/455,707, filed Dec. 22, 1989. The disclosures of each of these patent applications are incorporated herein by reference in their entirety.

**AB:** Methods of and apparatus for preparing gas-filled liposomes are described. Gas-filled liposomes prepared by these methods are particularly useful, for example, in ultrasonic imaging applications and in therapeutic drug delivery systems.

**IN:** Unger, Evan C., Fritz, Thomas A., Matsunaga, Terry, Ramaswami, VaradaRajan, Yellowhair, David, Wu, Guanli

25. Document ID: US 5684147 A

L5: Entry 25 of 52

File: USPT

Nov 4, 1997

**US-PAT-NO:** 5684147  
**DOCUMENT-IDENTIFIER:** US 5684147 A  
**TITLE:** Therapeutic anti-HIV anti-viral oligonucleotides and pharmaceutical formulations thereof  
**DATE-ISSUED:** November 4, 1997

**US-CL-CURRENT:** 536/24.5; 435/238

**APPL-NO:** 8/ 319823

DATE FILED: October 7, 1994

PARENT-CASE:

This application is a continuation of application Ser. No. 07/958,135, filed Oct. 5, 1992, now abandoned.

AB: Disclosed are oligonucleotides having nucleotide sequences that hybridize to at least nucleotides 324 to 348 of a conserved gag region of the HIV-1 genome. These oligonucleotides have about 25 to 30 nucleotides linked by at least one non-phosphodiester internucleotide linkage which render them resistant to nuclease digestion. Also disclosed are therapeutic formulations containing such oligonucleotides and methods of inhibition HIV-1 proliferation and of treating HIV-1 infection in a mammal.

IN: Agrawal; Sudhir, Tang; Jin-Yang

26. Document ID: US 5677439 A

L5: Entry 26 of 52

File: USPT

Oct 14, 1997

US-PAT-NO: 5677439

DOCUMENT-IDENTIFIER: US 5677439 A

TITLE: Oligonucleotide analogues containing phosphate diester linkage substitutes, compositions thereof, and precursor dinucleotide analogues  
DATE-ISSUED: October 14, 1997

US-CL-CURRENT: 536/23.1; 435/6, 536/24.5

APPL-NO: 8/ 449124

DATE FILED: May 24, 1995

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATIONS This application is a division of application Ser. No. 08/205,335, filed on Mar. 3, 1994, now abandoned which in turn is a continuation of application Ser. No. 07/682,784, filed Apr. 9, 1991, now abandoned, which in turn is a continuation-in-part of application U.S. Ser. No. 07/562,180, filed Aug. 3, 1990, now U.S. Pat. No. 5,245,822; application U.S. Ser. No. 07/582,287, filed Sep. 13, 1990, now abandoned; application U.S. Ser. No. 07/582,456, filed Sep. 13, 1990, now abandoned; and application U.S. Ser. No. 07/582,457, filed Sep. 13, 1990, now abandoned.

AB: The present invention relates to compounds, compositions and methods for inhibiting gene expression. The compounds of this invention comprise 1) oligonucleoside sequences of from about 6 to about 200 bases having a three atom internucleoside linkage or 2) oligonucleotide sequences of from about 9 to about 200 bases having a diol at either or both termini.

IN: Weis; Alexander Ludvik, Hausheer; Frederick Herman, Chaturvedula; Prasad Venkata Chala, Delecki; Daniel Joseph, Cavanaugh, Jr.; Paul Francis, Moskwa; Patricia Susan, Oakes;

Fred Terry

27. Document ID: US 5595978 A

L5: Entry 27 of 52

File: USPT

Jan 21, 1997

US-PAT-NO: 5595978

DOCUMENT-IDENTIFIER: US 5595978 A

TITLE: Composition and method for treatment of CMV retinitis  
DATE-ISSUED: January 21, 1997

US-CL-CURRENT: 514/44; 435/6, 435/91.1, 536/23.1, 536/24.5

APPL-NO: 8/ 233711

DATE FILED: April 26, 1994

PARENT-CASE:

This application is a continuation in part of Ser. No. 07/568,366 filed Aug. 16, 1990 now abandoned; Ser. No. PCT/US91/05815 filed Aug. 14, 1991; Ser. No. 07/927,506 filed Nov. 19, 1992 pending; and Ser. No. 08/009,263 filed Jan. 25, 1993, now U.S. Pat. No. 5,442,049.

FOREIGN-APPL-PRIORITY-DATA:  
COUNTRY

APPL-NO

APPL-DATE

WO

PCT/US91/05815

August 14, 1991

AB: This invention concerns compositions and methods for the treatment of CMV infections. A composition including an antisense oligonucleotide targeted to the IE2 gene of CMV and a pharmaceutically acceptable carrier were used stop progression in CMV retinitis.

IN: Draper; Kenneth G., Chapman; Sharon K., Kisner; Daniel L.

28. Document ID: US 5585112 A

L5: Entry 28 of 52

File: USPT

Dec 17, 1996

US-PAT-NO: 5585112

DOCUMENT-IDENTIFIER: US 5585112 A

TITLE: Method of preparing gas and gaseous precursor-filled microspheres  
DATE-ISSUED: December 17, 1996

US-CL-CURRENT: 424/450; 264/4.1, 264/4.3, 424/9.51

APPL-NO: 8/ 159687

DATE FILED: November 30, 1993

PARENT-CASE:  
RELATED APPLICATIONS This application is continuation-in-part of applications U.S. Ser. Nos. 08/160,232 and 08/159,674, now abandoned, filed concurrently herewith on Nov. 30, 1993, which are continuations-in-part of application U.S. Ser. No. 076,239, filed Jun. 11, 1993, now U.S. Pat. No. 5,469,854 which is a continuation-in-part of application U.S. Ser. No. 717,084, now U.S. Pat. No. 5,228,446 and U.S. Ser. No. 716,899, now abandoned, both of which were filed Jun. 18, 1991, which in turn are a continuation-in-part of U.S. Ser. No. 569,828, filed Aug. 20, 1990, now U.S. Pat. No. 5,088,499 which in turn is a continuation-in-part of application U.S. Ser. No. 455,707, filed Dec. 22, 1989, which is abandoned. The disclosures of each of these patent applications are incorporated herein by reference in their entirety.

AB: Methods of and apparatus for preparing temperature activated gaseous precursor-filled liposomes are described. Gaseous precursor-filled liposomes prepared by these methods are particularly useful, for example, in ultrasonic imaging applications and in therapeutic drug delivery systems.

IN: Unger, Evan C., Fritz, Thomas A., Matsunaga, Terry, Ramaswami, VaradaRajan, Yellowhair, David, Wu, Guanli

29. Document ID: US 5580575 A

L5: Entry 29 of 52

File: USPT

Dec 3, 1996

US-PAT-NO: 5580575  
DOCUMENT-IDENTIFIER: US 5580575 A  
TITLE: Therapeutic drug delivery systems  
DATE-ISSUED: December 3, 1996

US-CL-CURRENT: 424/450

APPL-NO: 8/ 076250  
DATE FILED: June 11, 1993

PARENT-CASE:  
RELATED APPLICATIONS This application is a continuation-in-part of applications U.S. Ser. Nos. 716,899, now abandoned and 717,084, now U.S. Pat. No. 5,228,446 each filed Jun. 18, 1991, which in turn are continuation-in-parts of U.S. Serial No. 569,828, filed Aug. 20, 1990, now U.S. Pat. No. 5,888,099 which in turn is a continuation-in-part of application U.S. Ser. No. 455,707, filed Dec. 22, 1989, now abandoned the disclosures of each of which are hereby incorporated herein by reference in their entirety.

AB: Therapeutic drug delivery systems comprising gas-filled microspheres comprising a therapeutic are described. Methods for employing such microspheres in therapeutic drug delivery applications are also provided. Drug delivery systems comprising gas-filled liposomes having encapsulated therein a drug are preferred. Methods of and apparatus for preparing such liposomes and methods for employing such liposomes in

drug delivery applications are also disclosed.

IN: Unger, Evan C., Fritz, Thomas A., Matsunaga, Terry, Ramaswami, VaradaRajan, Yellowhair, David, Wu, Guanli

30. Document ID: US 5567810 A

L5: Entry 30 of 52

File: USPT

Oct 22, 1996

US-PAT-NO: 5567810  
DOCUMENT-IDENTIFIER: US 5567810 A  
TITLE: Nuclease resistant compounds  
DATE-ISSUED: October 22, 1996

US-CL-CURRENT: 536/25.3; 568/853

APPL-NO: 8/ 456017  
DATE FILED: May 31, 1995

PARENT-CASE:  
This is a continuation of application Ser. No. 08/114,717, filed Aug. 31, 1993, abandoned, which is a division of application Ser. No. 07/562,180, filed Aug. 3, 1990, now U.S. Pat. No. 5,245,022.

AB: Compounds, compositions and methods for inhibiting gene expression are disclosed.

The compounds comprise oligonucleotide sequences of from about 9 to about 200 bases having a diol at either or both termini. Preferred diols are polyalkyleneglycols, preferably polyethyleneglycols. Pharmaceutical compositions comprising the compounds and a physiologically acceptable carrier and methods of inhibiting gene expression in mammals comprising administering such compounds are also provided. Methods for inhibiting nuclease cleavage of compounds are also provided.

IN: Weis, Alexander L., Oakes, Fred T., Hausheer, Frederick H., Cavanaugh, Jr., Paul F., Moskwa, Patricia S.

31. Document ID: US 5542935 A

L5: Entry 31 of 52

File: USPT

Aug 6, 1996

US-PAT-NO: 5542935  
DOCUMENT-IDENTIFIER: US 5542935 A  
TITLE: Therapeutic delivery systems related applications  
DATE-ISSUED: August 6, 1996

US-CL-CURRENT: 604/190; 424/450, 600/458

APPL-NO: 8/ 160232  
DATE FILED: November 30, 1993

PARENT-CASE:

RELATED APPLICATIONS This application is a continuation-in-part of applications U.S. Ser. Nos. 08/159,687 and 08/159,674 the latter now abandoned, filed concurrently herewith on Nov. 29, 1993, which is a continuation-in-part of application U.S. Ser. No. 08/076,250, filed Jun. 11, 1993, which is a continuation-in-part of applications U.S. Ser. Nos. 08/716,899 and 07/717,084, each filed Jun. 18, 1991, the former now abandoned & the latter U.S. Pat. No. 5,228,446, which in turn are continuation-in-parts of U.S. Ser. No. 569,828, filed Aug. 20, 1990 and now U.S. Pat. No. 5,088,499, which in turn is a continuation-in-part of application U.S. Ser. No. 07/455,707, filed Dec. 22, 1989 and now abandoned, the disclosures of each of which are hereby incorporated herein by reference in their entirety.

AB: Therapeutic delivery systems comprising gaseous precursor-filled microspheres comprising a therapeutic are described. Methods for employing such microspheres in therapeutic delivery applications are also provided. Therapeutic delivery systems comprising gaseous precursor-filled liposomes having encapsulated therein a contrast agent or drug are preferred. Methods of and apparatus for preparing such liposomes and methods for employing such liposomes in therapeutic delivery applications are also disclosed.

IN: Unger; Evan C., Fritz; Thomas A., Matsunaga; Terry, Ramaswami; VaradaRajan, Yellowhair; David, Wu; Guanli

32. Document ID: US 5469854 A

L5: Entry 32 of 52

File: USPT

Nov 28, 1995

US-PAT-NO: 5469854  
DOCUMENT-IDENTIFIER: US 5469854 A  
TITLE: Methods of preparing gas-filled liposomes  
DATE-ISSUED: November 28, 1995

US-CL-CURRENT: 600/458; 264/4.3

APPL-NO: 8/ 076239  
DATE FILED: June 11, 1993

PARENT-CASE:

RELATED APPLICATION This application is a continuation-in-part of application U.S. Ser. No. 717,084 now U.S. Pat. NO. 5,228,446 and U.S. Ser. No. 716,899, now abandoned, both of which were filed Jun. 18, 1991, which in turn are a continuation-in-part of U.S. Ser. No. 569,828, filed Aug. 20, 1990 and now U.S. Pat. No. 5,088,499, which in turn is a continuation-in-part of application U.S. Ser. No. 455,707, filed Dec. 22, 1989 and now abandoned. The disclosures of each of these patent applications are incorporated herein by reference in their entirety.

AB: Methods of and apparatus for preparing gas-filled liposomes are

described.

Gas-filled liposomes prepared by these methods are particularly useful, for example, in ultrasonic imaging applications and in therapeutic drug delivery systems.

IN: Unger; Evan C., Fritz; Thomas A., Matsunaga; Terry, Ramaswami; VaradaRajan, Yellowhair; David, Wu; Guanli

33. Document ID: US 5245022 A

L5: Entry 33 of 52

File: USPT

Sep 14, 1993

US-PAT-NO: 5245022  
DOCUMENT-IDENTIFIER: US 5245022 A  
TITLE: Exonuclease resistant terminally substituted oligonucleotides  
DATE-ISSUED: September 14, 1993

US-CL-CURRENT: 536/24.5; 536/25.32

APPL-NO: 7/ 562180  
DATE FILED: August 3, 1990

AB: Compounds, compositions and methods for inhibiting gene expression are disclosed. The compounds comprise oligonucleotide sequences of from about 9 to about 200 bases having a diol at either or both termini. Preferred diols are polyalkyleneglycols, preferably polyethyleneglycols. Pharmaceutical compositions comprising the compounds and a physiologically acceptable carrier and methods of inhibiting gene expression in mammals comprising administering such compounds are also provided. Methods for inhibiting nuclease cleavage of compounds are also provided.

IN: Weis; Alexander L., Oakes; Fred T., Hausheer; Frederick H., Cavanaugh, Jr.; Paul F., Moskwa; Patricia S.

34. Document ID: US 5110802 A

L5: Entry 34 of 52

File: USPT

May 5, 1992

US-PAT-NO: 5110802  
DOCUMENT-IDENTIFIER: US 5110802 A  
TITLE: Oligonucleotide phosphonates and method of inhibiting a human immunodeficiency virus in vitro utilizing said oligonucleotide phosphonates  
DATE-ISSUED: May 5, 1992

US-CL-CURRENT: 514/44; 435/6, 536/23.1, 536/24.5

APPL-NO: 7/ 073189  
DATE FILED: July 14, 1987

AB: A method of inhibiting human immunodeficiency virus (HIV) comprising administering a therapeutically effective amount of an antiviral agent to attack the first splice acceptor site of the tat III gene of HIV.

IN: Cantin; Edouard M., Zaia; John A., Wallace; R. Bruce, Rossi; John J.

35. Document ID: JP 11322784 A

L5: Entry 35 of 52

File: JPAB

Nov 24, 1999

PUB-NO: JP411322784  
DOCUMENT-IDENTIFIER: JP 11322784 A  
TITLE: MODIFICATION OF NUCLEIC ACID

PUBN-DATE: November 24, 1999

INT-CL (IPC): C07H 21/04; A61K 31/70; A61K 31/70; A61K 48/00; C12N 15/09

APPL-NO: JP10145175  
APPL-DATE: May 12, 1998

AB: PROBLEM TO BE SOLVED: To provide a method for reinforcing the mismatch-recognizing ability of a specific antisense nucleic acid by introducing a polyamine to the C-5 position of the pyrimidine base of the antisense nucleic acid, capable of giving nucleic acid medicines having high double strand-forming abilities with perfectly complementary chains and useful for treating infectious diseases such as HIV, etc.,

SOLUTION: This method for reinforcing the mismatch-recognizing ability of an antisense nucleic acid comprises introducing a polyamine to the C-5 position of the pyrimidine base

(preferably expressed by formula I) of an antisense nucleic acid comprising a phosphorothioate oligomer DNA. The polyamine is preferably introduced by treating a phosphorothioate oligomer DNA having a pyrimidine base having a methoxycarbonylmethyl group at the C-5 position as a constituent with an excessive amount of tris(2-aminoethyl)amine, and a polyamine-modified phosphorothioate oligomer DNA of formula II or III (Acr is a compound of formula-IV; U\* is a compound of formula V) is used for anti-HIV preparations.,  
COPYRIGHT: (C)1999,JPO

IN: SHINOZUKA, KAZUO, OKAMOTO, TAKESHI, MATSUKURA, MAKOTO, SAWAI, HIROAKI

36. Document ID: JP 11285391 A

L5: Entry 36 of 52

File: JPAB

Oct 19, 1999

PUB-NO: JP411285391A  
DOCUMENT-IDENTIFIER: JP 11285391 A  
TITLE: ANTI-HIV MEDICINE

PUBN-DATE: October 19, 1999

INT-CL (IPC): C12N 15/09; A61K 31/00; A61K 31/00; A61K 31/70; A61K 48/00

APPL-NO: JP10327942  
APPL-DATE: November 18, 1998

AB: PROBLEM TO BE SOLVED: To obtain a new antisense oligonucleotide which specifically hybridizes to a chromosomal DNA and/or RNA coding for CXCR4 protein to inhibit the expression of the protein, and useful, for example, as a medicine for treatment and prophylaxis of the HIV infection., SOLUTION: This is a new antisense oligonucleotide which specifically hybridizes to a chromosomal DNA and/or RNA coding for CXCR4 protein to inhibit the expression of CXCR4 protein, contains at least one of sequences shown by formulas I-III, and is useful as an anti-HIV medicine which is highly effective, for example, as medicines for prophylaxis and treatment of human immunodeficiency viruses(HIV). This antisense oligonucleotide is obtained by synthesizing a base sequence complementary to a base sequence from +429 to +758 (gene transcription initiation point of mRNA coding for CXCR4 protein being +1) on a DNA synthesizer by the phosphoramidite method, followed by purifying by reversed phase HPLC and so on., COPYRIGHT: (C)1999,JPO

IN: GOTO, TAKESHI, IJIMA, OSAMU, SHIMADA, TAKASHI, UCHIDA, KIYOSHI

37. Document ID: WO 200029556 A2  
L5: Entry 37 of 52

File: DWPI

May 25, 2000

DERWENT-ACC-NO: 2000-399727  
DERWENT-WEEK: 200034  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Enhancing fusion of a virus with a cell comprises providing globotriaosylceramide which can be integrated into the cell plasma, useful in the treatment and prevention of HIV-1 infection

PRIORITY-DATA:  
1998US-0108903

November 17, 1998

PATENT-FAMILY:  
PUB-NO

PUB-DATE

LANGUAGE

PAGES

MAIN-IPC

WO 200029556

May 25, 2000

E

058

C12N005/12 A2

APPLICATION-DATA:

PUB-NO

APPL-DATE

APPL-NO

APPL-DESCRIPTOR

WO

November 16, 1999

1999WO-US27341

N/A 200029556A2

INT-CL (IPC): A61K 31/395; A61K 31/70; A61K 38/16; A61K 38/17;  
A61K 38/46; A61K 39/395; A61K  
48/00; A61M 1/16; A61P 31/18; C12N 5/06; C12N 5/12; C12N 7/00

AB: NOVELTY - A new method (M1) of enhancing fusion of a virus with a cell comprises: (a) providing globotriaosylceramide (GC) to the cell or inducing the cell to produce the GC, such that GC is incorporated in the plasma membrane of the cell; and, (b) infecting the cell with the virus. DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for: (1) a method of enhancing fusion of two cells comprising: (a) providing a first cell; (b) treating the first cell with GC such that the GC is incorporated in the plasma membrane of the cell; (c) providing a second cell; and, (d) contacting the first cell with the second cell; (2) a cell comprising an enhanced ability to fuse with a virus, a second cell or a liposome, comprising gp120 and the cell has been manipulated to have sufficient GC on its cell surface to mediate enhanced fusion; (3) a method of enhancing delivery of an agent to a cell comprising providing a liposome that contains an agent to be delivered to the cell has gp120 on its plasma membrane and contacting the liposome with a cell that has GC; (4) a method of prevention and treatment of HIV infection and AIDS comprising administering an agent that inhibits the synthesis of glycosphingolipids; (5) a method of prevention and treatment of HIV infection and AIDS comprising administering an agent that inhibits the synthesis of a glucosyl ceramide or GC; (6) a method of prevention and treatment of HIV infection and AIDS comprising administering a member of the group consisting of NB-OGJ (undefined), an NB-OGJ analog, 1-phenyl-2-hexadecanoylamino-3-morpholino-1-propanol (PPMP), a PPMP analog, PPPP (undefined) and a PPPP analog; (7) a method of prevention and treatment of HIV infection and AIDS comprising administering an antisense oligonucleotide or ribozyme that inhibits an enzyme involved in glycosphingolipid synthesis; (8) a method of prevention and treatment of HIV infection and AIDS comprising administering a monoclonal antibody that inhibits an enzyme involved in glycosphingolipid synthesis; (9) a method of prevention and treatment of HIV infection and AIDS comprising administration of an agent that cleaves a sugar residue on a glycosphingolipid, glucosyl ceramide, GC; (10) a method of prevention and treatment of HIV infection and AIDS comprising administration of an agent that binds to a glycosphingolipid, glucosyl ceramide or GC; (11) a method of prevention and treatment of HIV infection and AIDS comprising administering a glycosphingolipid

(preferably GC in multimeric form) to a patient suffering from HIV infection and/or AIDS; (12) a method of isolating HIV comprising: (a) providing a support having immobilized GC; (b) applying a solution having HIV to the support; (c) allowing the HIV in the solution to bind to the support for a sufficient time; (d) washing away any unbound material from the support; and, (e) eluting the bound HIV from the support; (13) a composite support comprising a material to which is attached Gb3 and at least one member of the group consisting of CD4 and a chemokine receptor; (14) a method of removing HIV from a contaminated solution of human origin comprising: (a) providing a support having immobilized GC; (b) applying a solution of contaminated HIV to the support; and, (c) allowing the HIV in the solution to bind to the support for a sufficient time; (15) a dialysis filter comprising at least one layer of GC; (16) a method of screening for a prophylactic agent that prevents HIV infection or AIDS comprising: (a) providing gp120 immobilized to a substrate; (b) providing the prophylactic agent to the immobilized gp120; (c) providing GC to the prophylactic agent and immobilized gp120; and, (d) determining the amount of GC bound to the immobilized gp120; (17) a method of screening for a therapeutic agent that prevents or treats HIV infection or AIDS comprising: (a) providing gp120 immobilized to a substrate; (b) providing GC to the immobilized gp120; (c) providing the therapeutic agent to the immobilized gp120 and GC; and, (d) determining the amount of GC that remains bound to the immobilized gp120; (18) a method of screening for a therapeutic agent that prevents or treats HIV infection or AIDS comprising: (a) providing GC immobilized to a substrate; (b) providing gp120 to the immobilized substrate; (c) providing the therapeutic agent to the immobilized GC; (d) determining the amount of gp120 that remains bound to the immobilized GC; (19) a diagnostic tool comprising GC attached to a support; (20) a method of screening an agent that enhances or prevents or inhibits cell fusion comprising: (a) providing a cell having CD4; (b) treating the cell having CD4 with the agent; (c) contacting the treated cell with a gp120 containing liposome, second cell or virus; (21) a method of screening a fusion enhancing agent comprising: (a) providing gp120 immobilized to a substrate; (b) providing GC to the immobilized gp120; (c) providing the agent to the immobilized gp120 and GC; and, (d) determining the amount of GC that remains bound to the immobilized gp120; and, (22) a method of screening a fusion enhancing agent comprising: (a) providing GC immobilized to a substrate; (b) providing gp120 to the immobilized GC; (c) providing the agent to the immobilized GC; and, (d) determining the amount of gp120 bound to the immobilized GC. USE - The agents are useful in the prevention and treatment of HIV infections and AIDS (claimed). The methods are useful for the treatment and prevention of HIV-1 infection by exploiting the interactions between gp120-gp41 and glycosphingolipids.

IN: BLUMENTHAL, R, HUG, P, PURI, A

38. Document ID: JP 11285391 A  
L5: Entry 38 of 52

File: DWPI

Oct 19, 1999

DERWENT-ACC-NO: 2000-026817  
DERWENT-WEEK: 200027  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: An oligonucleotide used as an anti-HIV agent - inhibits CXCR4 protein expression

PRIORITY-DATA:  
1997JP-0335085

November 19, 1997

PATENT-FAMILY:  
PUB-NO

PUB-DATE

LANGUAGE

PAGES

MAIN-IPC

JP 11285391 A

October 19, 1999

J

006

C12N015/09

APPLICATION-DATA:  
PUB-NO

APPL-DATE

APPL-NO

APPL-DESCRIPTOR

JP 11285391A

November 18, 1998

1998JP-0327942

N/A

INT-CL (IPC): A61K 31/00; A61K 31/70; A61K 48/00; C12N 15/09

AB: NOVELTY - An antisense oligonucleotide hybridizing specifically with a chromosome DNA and/or RNA coding CXCR4 protein to inhibit the expression of CXCR4 protein and containing at least one of the sequences (A), (B), or (C)., TGAGGACACTGCTGTAGAGTTGA (A); GCAATAGCAGGACAGGATGACA (b); or GTGACAGCTTGGAGATGATAATGC (C)., DETAILED DESCRIPTION - An

INDEPENDENT CLAIM is also included for an anti-HIV agent containing the above antisense oligonucleotide., USE - The antisense oligonucleotide and the anti-HIV agent containing it are highly effective as a preventive and treating agent for HIV infection.

IN: No data.

39. Document ID: AU 9929611 A, WO 9951751 A1, JP 11292795 A  
L5: Entry 39 of 52

File: DWPI

Oct 25, 1999

DERWENT-ACC-NO: 1999-620207

DERWENT-WEEK: 200011  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Antisense oligonucleotide-based HIV cofactor inhibitors, as drug compositions for treatment of HIV infection

PRIORITY-DATA:  
1998JP-0125452

April 2, 1998

PATENT-FAMILY:  
PUB-NO

PUB-DATE

LANGUAGE

PAGES

MAIN-IPC

AU 9929611 A

October 25, 1999

N/A

000

C12N015/49

WO 9951751 A1

October 14, 1999

J

059

C12N015/49

JP 11292795 A

October 26, 1999

N/A

025

A61K048/00

APPLICATION-DATA:  
PUB-NO

APPL-DATE

APPL-NO

APPL-DESCRIPTOR

AU 9929611A

April 1, 1999

1999AU-0029611

N/A

AU 9929611A

N/A

WO 9951751

Based on

WO 9951751A1

April 1, 1999

1999WO-JP01722

N/A

JP 11292795A

April 2, 1998

1998JP-0125452

N/A

INT-CL (IPC): A61K 31/70; A61K 48/00; C12N 15/09; C12N 15/49

AB: NOVELTY - HIV cofactor inhibitors contain oligonucleotides with a base sequence complementary to the base sequence of CXCR4 or CCR5 gene together with carriers or diluents., DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following: (1) oligonucleotides containing one of 119 base sequences (given in the specification); (2) drug compositions for prevention or treatment of HIV infection containing the above HIV cofactor inhibitors; (3) preventing or treating HIV infection by administering an effective dose of the inhibitors as required by the individual; and, (4) use of such inhibitor(s) for manufacturing the drug compositions., MECHANISM OF ACTION - HIV cofactor inhibitor., USE - Such inhibitors can be formulated into drug compositions for prevention or treatment of HIV

infection, with inhibition of expression of CXCR4 or/and CCR5 gene.

IN: KIMURA, T, TAKAI, K, TAKAKU, H, WADA, A,  
YAMAMOTO, N

40. Document ID: FR 2771750 A1  
L5: Entry 40 of 52

File: DWPI

Jun 4, 1999

DERWENT-ACC-NO: 1999-349542  
DERWENT-WEEK: 200023  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Lymphocytic virus-binding lectin LVBL - and corresponding  
DNA, vectors, antibodies, etc.,  
useful for diagnosis or therapy of HIV infection

PRIORITY-DATA:  
1997FR-0015224

December 3, 1997

PATENT-FAMILY:  
PUB-NO

PUB-DATE

LANGUAGE

PAGES

MAIN-IPC

FR 2771750 A1

June 4, 1999

N/A

072

C12N015/12

APPLICATION-DATA:  
PUB-NO

APPL-DATE

APPL-NO

APPL-DESCRIPTOR

FR 2771750A1

December 3, 1997

1997FR-0015224

N/A

INT-CL (IPC): A61K 38/36; A61K 39/395; A61K 48/00; C07H 21/04;  
C07K 14/42; C12N 5/10; C12N 15/12;  
C12N 15/85; C12Q 1/68 ; G01N 33/569; G01N 33/68

AB: The following are claimed: (1) a LVBL (lymphocytic  
virus-binding lectin)  
polynucleotide having SEQ ID NO:1 (a defined DNA sequence of 1401  
bp given in the  
specification; (2) a polynucleotide selected from (a) the polynucleotide  
having SEQ ID NO:1  
or the corresponding RNA sequence, (b) a polynucleotide whose  
sequence is complementary to  
that of polynucleotide (a), (c) a polynucleotide whose sequence has at  
least 80% homology  
with polynucleotide (a) or (b), (d) a polynucleotide that hybridises to a  
sequence of  
polynucleotide (a), (b) or (c) under stringent conditions, and (e) a  
fragment of at least 8  
consecutive nucleotides of polynucleotide (a), (b), (c) or (d); (3) a LVBL  
polypeptide  
having SEQ ID NO:2 (see figure); (4) a polypeptide selected from (a) the  
polypeptide of

(3), (b) a variant of polypeptide (a), (c) a polypeptide having at least 80%  
homology with  
polypeptide (a), (d) a fragment of at least 5 amino acids of polypeptide  
(a), (b) or (c),  
and (d) a biologically active fragment of polypeptide (a), (b) or (c); (5) a  
soluble  
derivative of a polypeptide as above in which amino acids 71-323 are  
conserved and at least  
one of amino acids 22-70 can be replaced or deleted, or in which amino  
acids 22-161 are  
conserved and at least one of amino acids 162-323 can be replaced or  
deleted; (6) a  
recombinant cloning and/or expression vector containing a polynucleotide  
as above; (7) a  
host cell transformed with the vector of (6); (8) mono- or polyclonal  
antibodies or their  
fragments or chimeric antibodies capable of specifically recognising a  
polypeptide as  
above; USE - Cells as above can be used to produce the recombinant  
LVBL polypeptide. The  
antibodies can be used to detect the LVBL polypeptide and the  
polynucleotides can be used as  
primers and probes for detecting LVBL genomic DNA or cDNA,  
preferably for diagnosis of a  
viral infection, especially an HIV infection. Antibodies, vectors and sense  
or antisense  
oligonucleotides as above can be used to prevent or treat a viral infection,  
especially an  
HIV infection, or to modulate cell proliferation, especially to stimulate  
proliferation of  
haematopoietic progenitor cells in the treatment of medullary hypoplasia  
or aplasia.

IN: BANNWARTH, S, GIORDANENGO, V, LEFEBVRE, J C

41. Document ID: EP 981333 A1, WO 9851284 A1, AU 9877961 A  
L5: Entry 41 of 52

File: DWPI

Mar 1, 2000

DERWENT-ACC-NO: 1999-045181  
DERWENT-WEEK: 200016  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Acoustically targetted drug delivery system to provide localised  
release - using gas  
filled particles containing oil and surfactant, use with heat or ultrasound  
diagnosis and local  
therapy in eye, prostate, lung, cancer.

PRIORITY-DATA:  
1998US-0075343

May 11, 1998

1997US-0046379

May 13, 1997

PATENT-FAMILY:  
PUB-NO

PUB-DATE

LANGUAGE

PAGES

MAIN-IPC

EP 981333 A1

March 1, 2000

E

000

A61K009/127

WO 9851284 A1

November 19, 1998  
E  
155  
A61K009/127  
AU 9877961 A  
December 8, 1998  
N/A  
000  
A61K009/127

APPLICATION-DATA:  
PUB-NO  
APPL-DATE  
APPL-NO  
APPL-DESCRIPTOR  
EP 981333A1  
May 12, 1998  
1998EP-0926033  
N/A  
EP 981333A1  
May 12, 1998  
1998WO-US09569  
N/A  
EP 981333A1  
N/A  
WO 9851284  
Based on  
WO 9851284A1  
May 12, 1998  
1998WO-US09569  
N/A  
AU 9877961A  
May 12, 1998  
1998AU-0077961  
N/A  
AU 9877961A  
N/A  
WO 9851284  
Based on

INT-CL (IPC): A61K 9/127; A61K 9/133; A61K 9/52

AB: Targeted therapeutic delivery system, comprises a microsphere filled with a gas or gaseous precursor, containing oil, surfactant, and therapeutic compound., USE - The surfactant promotes formation of stable particles, which have the appearance shown in the figure. For use, the delivery system is preferably monitored, by some detectable group, e.g., fluorocarbon, present in the composition, to note its arrival at the desired site. Delivery then operates by rupture of the lipophilic microspheres (lipospheres) specifically at the desired site by application of energy to the gas or generation of gas, releasing diagnostic or therapeutic agents. This can be done by a variety of methods, using internal or external stimuli at the desired site; by heat, either in warming to body temperature on administration, as by inhalation to the lungs, or contact with inflamed sites, or by local heating from an outside source to an appropriate higher temperature; or by ultrasound energy (acoustically active lipospheres or AAL), which can also provide local heating. The device may simply be laid on the skin or inert part of the eye for this purpose. A wide variety of diagnostic and therapeutic agents can be targeted by this method, notably lipophilic with high octanol/water partition coefficient; those with low coefficients may be alkylated or acylated to increase lipophilicity. Particular local areas to be targeted include the eye, prostate, lung, skin, and cancers. Disorders of the eye include retinal disease, diabetic

retinopathy, macular degeneration, glaucoma, and veno-occlusive disease; of the prostate, prostate cancer and benign prostatic hyperplasia (BPH). Autoimmune diseases, arthritis, organ transplants, and myasthenia gravis can also be targeted. The diagnostic and therapeutic agents include antifungals, antineoplastics, enzymes, interferons, interleukins, blood products, biological response modifiers, antiallergics, anticoagulants, cardiovascular drugs, antituberculars, antivirals, antianginals, antibiotics, antiinflammatories, antiprotozoals, antirheumatics, narcotics, cardiac glycosides, neuromuscular blockers, hypnotics and sedatives, local and general anaesthetics, radioactive particles or ions, antibodies, antioestrogens, genetic material (e.g., genes, antisense products, DNA encoding certain proteins, inhibitor for DNA encoding ras/p53, and haemophilia and HIV treatment), steroids, and dyestuffs. Imaging of diagnostics may be by any method, including ultrasound, magnetic resonance imaging (MRI), computed tomography (CT or CTT), radiography (by use of radioactive inert gases or standard isotopes e.g. Tc-99m), or optical imaging (e.g. by dyes). Attachment of the therapeutic is either to the surface of the particle, or by encapsulation.

IN: UNGER, E C

42. Document ID: US 5707866 A  
L5: Entry 42 of 52

File: DWPI

Jan 13, 1998

DERWENT-ACC-NO: 1998-100350  
DERWENT-WEEK: 199809  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Antisense oligonucleotide(s) complementary to human 18S rRNA - for inhibiting HIV ribosomal frameshifting and enzyme expression

PRIORITY-DATA:

1996US-0651835  
May 21, 1996  
1994US-0220604  
March 30, 1994  
1995US-0409852  
March 23, 1995

PATENT-FAMILY:

PUB-NO  
PUB-DATE  
LANGUAGE  
PAGES  
MAIN-IPC  
US 5707866 A  
January 13, 1998  
N/A  
014  
C12N015/85

APPLICATION-DATA:  
PUB-NO  
APPL-DATE

APPL-NO APPL-DESCRIPTOR  
US 5707866A  
March 30, 1994  
1994US-0220604  
CIP of  
US 5707866A  
March 23, 1995  
1995US-0409852  
CIP of  
US 5707866A  
May 21, 1996  
1996US-0651835  
N/A

INT-CL (IPC): C07H 21/04; C12N 15/85; C12Q 1/68

AB: An antisense DNA oligonucleotide that is complementary to the region of human 18S rRNA consisting of nucleotides 595-641 is claimed, where the oligonucleotide decreases the occurrence of ribosomal frameshifting and inhibits the expression of HIV enzymatic proteins. Also claimed is the antisense oligonucleotide (I) which is complementary to the region of human 18S rRNA consisting of nucleotides 1195-1207., 5'-CGTCAATTCCTTT-3' (I), USE  
- The antisense oligonucleotides are used for treating HIV infections.

IN: BRAKIER-GINGRAS, L, COTE, M, MELANCON, P, PAYANT, C

43. Document ID: WO 9800695 A2  
L5: Entry 43 of 52

File: DWPI

Jan 8, 1998

DERWENT-ACC-NO: 1998-087086  
DERWENT-WEEK: 199808  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Nucleic acid encoding Tat stimulating factor protein and related transformed cells - proteins and binding agents, used to treat human immunodeficiency virus infection

PRIORITY-DATA:  
1996US-0033152

December 13, 1996

1996US-0021218

July 3, 1996

PATENT-FAMILY:  
PUB-NO

PUB-DATE

LANGUAGE

PAGES

MAIN-IPC

WO 9800695 A2

January 8, 1998

E

068

G01N000/00

APPLICATION-DATA:  
PUB-NO

APPL-DATE APPL-NO APPL-DESCRIPTOR  
WO 9800695A2  
July 3, 1997  
1997WO-US11713  
N/A

INT-CL (IPC): G01N 0/00

AB: Isolated nucleic acid (I) encoding a Tat-stimulating Factor (Tat-SF) protein (II) is new. Also claimed are: (1) cells transformed or transfected with an expression vector containing (I) linked to a promoter, (2) isolated (II) and its functional fragments, (3) isolated polypeptide (III) that binds (II) selectively, (4) a method of altering transcriptional activity in a cell by treatment with an agent (IV) that selectively binds (I) or (II), (5) a method for screening for compounds (V) that bind to (II), (II)-associated kinase (VI) or to a complex of (II) and (VI), and, (6) screening for compounds that modulate (II)-mediated transcriptional activation., USE - (IV), particularly antisense fragments of (I) and antibodies, are used to treat infections with human immunodeficiency virus (HIV), including gene therapy (of haematopoietic T cell precursors) using sequences that encode (IV). (V) are used to detect, isolate and/or modulate (II), (VI) or the complex, and are also potential drugs (all claimed). (I) is used to express (II), and its fragments are useful as primers and probes for identifying related sequences or to generate 'knockout' animals as models for studying transcription and HIV replication., (II) or its fragments are used to raise antibodies; as inhibitors of (II) activity and as binding agents for (VI), e.g. for isolation or for inhibiting binding to (II). (II) is involved in regulating transcriptional elongation of HIV-1 by Tat; it is essential for Tat trans activation and is a substrate for (VI). Therapeutic agents are administered at 0.01-100 (preferably 0.2-20) mg/kg, at least 1 time daily, given orally, by injection, infusion or transdermally.

IN: SHARP, P A, ZHOU, Q

44. Document ID: EP 854918 A1, WO 9728258 A1, AU 9718341 A  
L5: Entry 44 of 52

File: DWPI

Jul 29, 1998

DERWENT-ACC-NO: 1997-402612  
DERWENT-WEEK: 199834  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Cells expressing human CD4 and CXCR4 - useful for research of HIV infection and development of more effective anti-HIV therapeutics

PRIORITY-DATA:  
1996US-0010854

January 30, 1996

# PATENT-FAMILY:

PUB-NO

PUB-DATE

LANGUAGE

PAGES

MAIN-IPC

EP 854918 A1

July 29, 1998

E

000

C12N015/00

WO 9728258 A1

August 7, 1997

E

051

C12N015/00

AU 9718341 A

August 22, 1997

N/A

000

C12N015/00

## APPLICATION-DATA:

PUB-NO

APPL-DATE

APPL-NO

APPL-DESCRIPTOR

EP 854918A1

January 30, 1997

1997EP-0903890

N/A

EP 854918A1

January 30, 1997

1997WO-US00956

N/A

EP 854918A1

N/A

WO 9728258

Based on

WO 9728258A1

January 30, 1997

1997WO-US00956

N/A

AU 9718341A

January 30, 1997

1997AU-0018341

N/A

AU 9718341A

January 30, 1997

1997WO-US00956

N/A

AU 9718341A

N/A

WO 9728258

Based on

INT-CL (IPC): A01K 67/027; A61K 31/70; A61K 38/19; A61K 39/395; C07K 14/52; C07K 14/715; C07K 16/28; C12N 5/10; C12N 15/00; C12Q 1/00; C12Q 1/34; C12Q 1/70; G01N 33/68

AB: Recombinant cell line that expresses CXCR4 polypeptide (a human fusion accessory factor associated with HIV infection) is new. Also claimed are: (1) a recombinant host cell stably transformed with a polynucleotide encoding CXCR4 and optionally a polynucleotide encoding CD4, where the cell co-expresses CXCR4 and CD4; (2) an antibody (Ab), especially monoclonal, which specifically binds to CXCR4 or its fragments; (3) a substantially purified peptide fragment of CXCR4 or CXCR4-binding agent, where the peptide or biologic agent inhibits cell membrane fusion between HIV and a target cell or between an HIV-infected cell and a CD4 positive uninfected cell; (4) a method for identifying a

composition which binds

to CXCR4, which comprises: (a) incubating the components comprising the composition and

CXCR4 under conditions sufficient to allow the components to interact, and (b) measuring the

binding of the composition to CXCR4; (5) a transgenic non-human animal, preferably a mouse

or rabbit, having a phenotype characterised by expression of CXCR4 and optionally CD4,

otherwise not naturally occurring in the animal, the phenotype being conferred by a transgene

contained in the somatic and germ cells of the animal, the transgene comprising nucleic acid

sequences which encode CXCR4 and optionally CD4; (6) a transgenic non-human animal having a

transgene, especially a CXCR4 antisense polynucleotide, disrupting or interfering with

expression of CXCR4 chromosomally integrated into the germ cells of the animal, and (7) a

method for detecting susceptibility of a first cell to HIV infection, which comprises: (a)

incubating the first cell with a second cell which expresses HIV-env, under conditions to

allow fusion of the 2 cells, and (b) detecting fusion of the cells, where fusion is

indicative of susceptibility to HIV infection., USE - The CXCR4-binding or blocking agent,

e.g. stromal cell derived factor (SDF1) or its derivatives, an anti-CXCR4 Ab or epitope

binding fragment or a chemokine, can be used to inhibit membrane fusion between HIV and a

target cell or between an HIV-infected cell and a CD4 positive uninfected cell. The method

of (4) can be used to identify such CXCR4-binding or blocking agents. Anti-CXCR4 antibodies

and antisense CXCR4 polynucleotides are useful for treating a subject having or at risk of

having an HIV infection or disorder, or an HIV-related disorder associated with expression

of CXCR4. The biological agent is contacted to the target or CD4 positive cell by in vivo

administration, preferably i.v., i.m. or s.c. injections. The anti-CXCR4 Ab is administered

at 0.1 mu g/kg to 100 mg/kg (all claimed).

IN: BERGER, E A, BRODER, C C, FENG, Y, KENNEDY, P E

45. Document ID: WO 9527283\_A1, AU 9521336 A  
L5: Entry 45 of 52

File: DWPI

Oct 19, 1995

DERWENT-ACC-NO: 1995-366388  
DERWENT-WEEK: 199547  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Inhibiting multiplication of HIV-1 in mammals - by expressing RNA anti=sense to packaging and gag coding sequence in transfected cells, also the transformed cells and vectors

PRIORITY-DATA:  
1994US-0223560

April 6, 1994

PATENT-FAMILY:  
PUB-NO

PUB-DATE

LANGUAGE  
PAGES  
MAIN-IPC

WO 9527783 A1  
October 19, 1995  
E

026  
C12N015/11

AI/ 9521336 A  
October 30, 1995  
N/A

000  
C12N015/11

APPLICATION-DATA:  
PUB-NO

APPL-DATE

APPL-NO

APPL-DESCRIPTOR

WO 9527783A1  
April 5, 1995

1995WO-CA00190  
N/A

AU 9521336A  
April 5, 1995

1995AU-0021336  
N/A

AU 9521336A  
N/A

WO 9527783  
Based on

INT-CL (IPC): A61K 31/70; C12N 15/11; C12N 15/86

AB: Method of inhibiting the multiplication of HIV-1 in a mammal comprises treatment with mammalian cells expressing RNA (I) mols. contg. HIV-1 psi signal and/or Gag coding sequences in antisense orientation., Also new are: (1) mammalian cells harbouring DNA (II) that expresses (I); (2) vectors, esp. retroviral, that express (I), and (3) a therapeutic compsn. comprising mammalian cells as above with a diluent, adjuvant or carrier.,  
USE/ADVANTAGE - The transfected cells are resistant to HIV-1 infection and so prevent the spread of the virus.

IN: JOSHI-SUKHWAL, S, JOSHISUKHWAL, S

46. Document ID: NO 306408 B1, WO 9520595 A1, AU 9517376 A, FI 9602986 A, US 5565438 A, NO 9603138 A, US 5567688 A, EP 748330 A1, US 5587362 A, EP 748330 A4, SK 9600926 A3, JP 09508394 W, BR 9506596 A, HU 75514 T, KR 97700685 A, NZ 281058 A, CZ 9602114 A3, US 5808040 A, AU 710262 B, MX 9603029 A1  
L5: Entry 46 of 52

File: DWPI

Nov 1, 1999

DERWENT-ACC-NO: 1995-283532  
DERWENT-WEEK: 199952  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: New 2-deoxy-2-fluoro-L-nucleotide derivs - for treating and preventing Epstein-Barr and hepatitis B viral infections

PRIORITY-DATA:  
1994US-0189070

January 28, 1994

1995US-0466274

June 6, 1995

1995US-0467010

June 6, 1995

1997US-0682623

January 24, 1997

PATENT-FAMILY:  
PUB-NO

PUB-DATE

LANGUAGE  
PAGES

MAIN-IPC

NO 306408 B1

November 1, 1999

N/A

000

C07H019/00

WO 9520595 A1

August 3, 1995

E

072

C07H019/00

AU 9517376 A

August 15, 1995

N/A

000

C07H019/00

FI 9602986 A

July 26, 1996

N/A

000

C07H000/00

US 5565438 A

October 15, 1996

N/A

020

A61K031/70

NO 9603138 A

September 26, 1996

N/A

000

C07H019/00

US 5567688 A

October 22, 1996

N/A

020

A61K031/70

EP 748330 A1

December 18, 1996

E

000

C07H019/00

US 5587362 A

December 24, 1996

N/A

020

A61K031/70

EP 748330 A4

March 19, 1997

N/A

000

C07H019/00

SK 9600926 A3

August 6, 1997

N/A

000

C07H019/00

JP 09508394 W

August 26, 1997

N/A

065

C07H019/09

BR 9506596 A

September 9, 1997  
 N/A  
 000  
 C07H019/00  
 HU 75514 T  
 May 28, 1997  
 N/A  
 000  
 C07H019/00  
 KR 97700685 A  
 February 12, 1997  
 N/A  
 000  
 C07H019/00  
 NZ 281058 A  
 June 26, 1998  
 N/A  
 000  
 C07H019/00  
 CZ 9602114 A3  
 September 16, 1998  
 N/A  
 000  
 C07H019/00  
 US 5808040 A  
 September 15, 1998  
 N/A  
 000  
 C07H001/00  
 AU 710262 B  
 September 16, 1999  
 N/A  
 000  
 C07H019/00  
 MX 9603029 A1  
 January 1, 1998  
 N/A  
 000  
 C07H019/00

APPLICATION-DATA:  
 PUB-NO

APPL-DATE	APPL-NO	APPL-DESCRIPTOR
NO 306408B1 January 30, 1995	1995WO-US01253 N/A	
NO 306408B1 July 26, 1996	1996NO-0003138 N/A	
NO 306408B1 N/A	NO 9603138 Previous Publ.	
WO 9520595A1 January 30, 1995	1995WO-US01253 N/A	
AU 9517376A January 30, 1995	1995AU-0017376 N/A	
AU 9517376A N/A	WO 9520595 Based on	
FI 9602986A January 30, 1995	1995WO-US01253 N/A	
FI 9602986A July 26, 1996	1996FI-0002986 N/A	

US 5565438A  
 January 28, 1994  
 1994US-0189070  
 Cont of  
 US 5565438A  
 June 6, 1995  
 1995US-0466274  
 N/A  
 NO 9603138A  
 January 30, 1995  
 1995WO-US01253  
 N/A  
 NO 9603138A  
 July 26, 1996  
 1996NO-0003138  
 N/A  
 US 5567688A  
 January 28, 1994  
 1994US-0189070  
 Cont of  
 US 5567688A  
 June 6, 1995  
 1995US-0467010  
 N/A  
 EP 748330A1  
 January 30, 1995  
 1995EP-0909404  
 N/A  
 EP 748330A1  
 January 30, 1995  
 1995WO-US01253  
 N/A  
 EP 748330A1  
 N/A  
 WO 9520595  
 Based on  
 US 5587362A  
 January 28, 1994  
 1994US-0189070  
 N/A  
 EP 748330A4  
 N/A  
 1995EP-0909404  
 N/A  
 SK 9600926A3  
 January 30, 1995  
 1995WO-US01253  
 N/A  
 SK 9600926A3  
 January 30, 1995  
 1996SK-0000926  
 N/A  
 JP 09508394W  
 January 30, 1995  
 1995JP-0520247  
 N/A  
 JP 09508394W  
 January 30, 1995  
 1995WO-US01253  
 N/A  
 JP 09508394W  
 N/A  
 WO 9520595  
 Based on  
 BR 9506596A  
 January 30, 1995  
 1995BR-0006596  
 N/A  
 BR 9506596A  
 January 30, 1995  
 1995WO-US01253  
 N/A  
 BR 9506596A  
 N/A  
 WO 9520595  
 Based on  
 HU 75514T  
 January 30, 1995

1995WO-US01253  
N/A

HU 75514T  
January 30, 1995  
1996HU-0001774  
N/A

HU 75514T  
N/A  
WO 9520595  
Based on

KR 97700685A  
January 30, 1995  
1995WO-US01253  
N/A

KR 97700685A  
July 27, 1996  
1996KR-0704125  
N/A

KR 97700685A  
N/A  
WO 9520595  
Based on

NZ 281058A  
January 30, 1995  
1995NZ-0281058  
N/A

NZ 281058A  
January 30, 1995  
1995WO-US01253  
N/A

NZ 281058A  
N/A  
WO 9520595  
Based on

CZ 9602114A3  
January 30, 1995  
1995WO-US01253  
N/A

CZ 9602114A3  
January 30, 1995  
1996CZ-0002114  
N/A

CZ 9602114A3  
N/A  
WO 9520595  
Based on

US 5808040A  
January 30, 1995  
1995WO-US01253  
N/A

US 5808040A  
January 24, 1997  
1997US-0682623  
N/A

US 5808040A  
N/A  
WO 9520595  
Based on

AU 710262B  
January 30, 1995  
1995AU-0017376  
N/A

AU 710262B  
N/A  
AU 9517376  
Previous Publ.

AU 710262B  
N/A  
WO 9520595  
Based on

MX 9603029A1  
July 26, 1996  
1996MX-0003029  
N/A

9602114 A3

INT-CL (IPC): A61K 31/70; C07H 0/00; C07H 1/00; C07H 19/00; C07H 19/06; C07H 19/09; C07H 19/16; C07H 19/19; C07H 19/20; C07H 21/04

AB: L-nucleotides of formula (I) are new. R = purine or pyrimidine base; R' = H, acyl, alkyl or mono-, di- or tri-phosphate., USE - (I), and their salts, are used to treat or prevent Epstein-Barr virus (EBV) or hepatitis B infections, or associated cirrhosis, hepatitis, fatigue, etc. They can also be used (not claimed) (a) to treat infections by other viruses (e.g. HIV) that replicate in the same way as EBV and HBV and (b) as components of antisense (or other) oligonucleotides to improve stability against 3'-exonucleases., (I) are admin. at 0.1-100 (esp. 1-20) mg/kg per day, given orally, parenterally or topically, esp. to provide a peak plasma concn. of 0.2-70 (esp. 1-10) m., ADVANTAGE - (I) have low cytotoxicity., A method for the treatment of a human infected with EBV comprising administering to said human an EBV treatment amount of an L-nucleoside of the formula (I) wherein R is 5-methyluracil, and R' is hydrogen, acyl, alkyl or a monophosphate, diphosphate or triphosphate ester., Treatment of a human infected with HBV comprises administering to said human an HBV treatment amt. of an L-nucleoside of formula (I);, R = 5-methyl-uracil, adenine and cytosine, and, R' = H, acyl, alkyl or a monophosphate, diphosphate or triphosphate ester., A cpd. of formula (I) where R is 5-methyluracil, adenine or cytosine, and R' is hydrogen, acyl, or alkyl or a monophosphate, diphosphate or triphosphate ester., L-nucleotides of formula (I) are new. R = purine or pyrimidine base; R' = H, acyl, alkyl or mono-, di- or tri-phosphate., USE - (I), and their salts, are used to treat or prevent Epstein-Barr virus (EBV) or hepatitis B infections, or associated cirrhosis, hepatitis, fatigue, etc. They can also be used (not claimed) (a) to treat infections by other viruses (e.g. HIV) that replicate in the same way as EBV and HBV and (b) as components of antisense (or other) oligonucleotides to improve stability against 3'-exonucleases., (I) are admin. at 0.1-100 (esp. 1-20) mg/kg per day, given orally, parenterally or topically, esp. to provide a peak plasma concn. of 0.2-70 (esp. 1-10) m., ADVANTAGE - (I) have low cytotoxicity.

IN: CHENG, Y, CHU, C K, PAI, B S, YAO, G, PAI, B

47. Document ID: AU 696635 B, EP 653439 A2, DE 4338704 A1, AU 9477799 A, CA 2135591 A, JP 07194385 A, EP 653439 A3, BR 1100769 A3  
L5: Entry 47 of 52

File: DWPI

Sep 17, 1998

DERWENT-ACC-NO: 1995-180677  
DERWENT-WEEK: 199849  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: New anti:sense oligo:nucleotide analogues - with modified non-terminal pyrimidine nucleotide units, useful for treating viral infections, cancer, etc.

PRIORITY-DATA:  
1993DE-4338704

November 12, 1993

PATENT-FAMILY:  
PUB-NO

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
AU 696635 B	September 17, 1998	N/A	000	C07H021/04
EP 653439 A2	May 17, 1995	G	036	C07H021/00
DE 4338704 A1	May 18, 1995	N/A	000	C07H019/06
AU 9477799 A	May 18, 1995	N/A	000	C07H021/04
CA 2135591 A	May 13, 1995	N/A	000	C12Q001/70
JP 07194385 A	August 1, 1995	N/A	021	C12N015/09
EP 653439 A3	October 25, 1995	N/A	000	C07H021/00
BR 1100769 A3	May 5, 1998	N/A	000	C07H021/00

APPLICATION-DATA:  
PUB-NO

PUB-NO	APPL-DATE	APPL-NO	APPL-DESCRIPTOR
AU 696635B	November 11, 1994	1994AU-0077799	N/A
AU 696635B	N/A	AU 9477799	Previous Publ.
EP 653439A2	November 7, 1994	1994EP-0117513	N/A
DE 4338704A1	November 12, 1993	1993DE-4338704	N/A
AU 9477799A	November 11, 1994	1994AU-0077799	N/A

CA 2135591A

November 10, 1994

1994CA-2135591  
N/A

JP07194385A

November 11, 1994

1994JP-0278104  
N/A

BR 1100769A3

May 12, 1997

1997BR-1100769  
N/A

INT-CL (IPC): A61K 31/70; C07H 19/06; C07H 21/00; C07H 21/04;  
C12N 15/09; C12Q 1/68; C12Q 1/70;  
G01N 33/68

AB: New modified oligonucleotides (I) are derivs. of oligonucleotides of one of 32 formulae (II-XXXIII) given in the specification, in which at least one non-terminal pyrimidine nucleotide is modified: e.g. ACACCCAATTC TGAAAATGG (II) AGGTCCCTGTT CGGGCGCCA (III) GTCGACACCC AATTCTGAAA ATGGATAA (IV) GCTATGTCGAC ACCCAATTCTG AAA (V) TCGTCGCTGTC TCCGCTTCTTC TTCCTGCCA (VI) CTGTCTCCGCT TCTTCTTCCTG CCATAGGAG (VII), etc. Also claimed is the use of oligonucleotides in which at least one non-terminal pyrimidine nucleotide is modified for prodn. of a medicament or diagnostic agent.; USE - (I) are antisense oligonucleotides useful for treating HIV infections (derivs. of II-VII), HSV-1 infections (derivs. of VIII), cancer (derivs. of IX-XXVII) and diseases mediated by integrins or cell adhesion receptors (derivs. of XXVIII-XXXIII)., ADVANTAGE - The modifications increase nuclease resistance and thus improve stability and activity.

IN: HELSBERG, M, KRETZSCHMAR, G, MAG, M, PEYMAN, A, UHLMANN, E, WINKLER, I

48. Document ID: EP 614907 A1, US 5670489 A, AU 9457590 A, CA 2117009 A, ZA 9401527 A, US 5466677 A, JP 08003185 A, AU 675104 B  
L5: Entry 48 of 52

File: DWPI

Sep 14, 1994

DERWENT-ACC-NO: 1994-318098  
DERWENT-WEEK: 199744  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: New dinucleotide analogues with 3 and 5 carbon linkages - and derived oligonucleotides, useful as stable antisense molecules for diagnosis or treatment of viral infections etc., also new intermediates.

PRIORITY-DATA:  
1993GB-0004618

March 6, 1993

PATENT-FAMILY:  
PUB-NO

PUB-DATE

LANGUAGE

		PAGES	
		MAIN-IPC	
EP 614907 A1	September 14, 1994	E	
		073	
US 5670489 A	September 23, 1997	N/A	C07H021/00
		035	
AU 9457590 A	September 8, 1994	N/A	A61K031/70
		000	
CA 2117009 A	September 7, 1994	N/A	C07F009/32
		000	
ZA 9401527 A	November 30, 1994	N/A	C07H021/00
		116	
US 5466677 A	November 14, 1995	N/A	C07H000/00
		037	
JP 08003185 A	January 9, 1996	N/A	A61K031/70
		051	
AU 675104 B	January 23, 1997	N/A	C07H019/06
		000	
			C07F009/32
APPLICATION-DATA:			
PUB-NO	APPL-DATE	APPL-NO	APPL-DESCRIPTOR
EP 614907A1	March 1, 1994	1994EP-0301443	N/A
US 5670489A	February 28, 1994	1994US-0204020	Div ex
US 5670489A	June 2, 1995	1995US-0463139	N/A
AU 9457590A	March 4, 1994	1994AU-0057590	N/A
CA 2117009A	March 4, 1994	1994CA-2117009	N/A
ZA 9401527A	March 4, 1994	1994ZA-0001527	N/A
US 5466677A	February 28, 1994	1994US-0204020	N/A
JP08003185A			

March 4, 1994 1994JP-0058381 N/A

AU 675104B March 4, 1994 1994AU-0057590 N/A

AU 675104B N/A AU 9457590 Previous Publ.

INT-CL (IPC): A61K 31/665; A61K 31/70; C07F 9/32; C07F 9/44; C07F 9/6512; C07F 9/655; C07F 9/6561; C07H 0/00; C07H 19/04; C07H 19/06; C07H 19/16; C07H 21/00; C07H 21/02; C07H 21/04; C07H 23/00; G01N 33/569

AB: Dinucleotide analogues of formula (I) are new: B1 and B2 = monovalent nucleoside base radical; R1=R1a or Z; R1a, R2, R3 and R4= H, halo or OH; R5= R5a or Z; R6= H or R6a; R7= H, alkyl-N,N-dialkylphosphoramidyl or R7a; R8= R8a or Z; or R7O and R8 are together isopropylidenedioxy; R5a and R8a= H, halo, OH, OR10, OCOR10 or silyloxy subst. by 3 1-15C hydrocarbyl; R6a and R7a = 1-10C aliphatic, 6-15C aromatic, 6-30C araliphatic, COR11, SO2R11 or silyl as above; R9= H, 1-8C aliphatic, 3-8C cycloaliphatic, 6-15C aromatic, 7-13C araliphatic, alkali metal or NH4 ion; R10 and R11 = 1-10C aliphatic, 3-8C cycloaliphatic, 6-15C aromatic or 7-16C araliphatic; Rx and Ry = H, halo, OH, 1-10C alkyl or alkoxy, 2-10C alkenyl or alkenyloxy, 3-8C cycloalkyl, 6-15C aryl, 7-16C aralkyl or aralkoxy, 6-10C aryloxy (opt. subst.) or OCOR2; R2= opt. subst. 1-10C alkyl, 2-10C alkenyl, 3-8C cycloalkyl, 6-15C aryl or 7-16C aralkyl; Z = 6-10C aryloxythiocarbonyloxy, opt. subst. on aryl., Also new are oligonucleotides (A) contg. at least one (I) residue., USE - (I) are useful in the prepn. of (A). (I) and (A) are useful therapeutically as antisense molecules for treating viral (e.g. influenza, herpes or HIV) infections, or for blocking oncogenes. They can also be used diagnostically as antisense probes., ADVANTAGE - (I) are very stable against nuclease hydrolysis and hybridise well, esp. with RNA., Dinucleotide analogues of formula (I) are new. In (I), B1 and B2 are each a monovalent nucleoside base radical, R1 is R19 or Z, R19, R2, R3 and R4 are each H, OH or halo, R5 is R5a or Z, R6 is H or R6a, R7 is H, R7a or alkyl-N,N-dialkylphosphoramidyl, R8 is R8a or Z, or R7a+R8 forms isopropylidenedioxy, R5a and R8a are each H, halo, OH, OR10, OCOR10 or silyloxy subst. by three 1-15C hydrocarbyl, R6a and R7a are each 1-10C aliphatic, 6-15C aromatic or 7-30C araliphatic radicals, COR11, SO2R11 or silyl subst. by three 1-15C hydrocarbyl, R9 is H, 1-8C aliphatic, 3-8C cycloaliphatic, 6-15C aromatic, 7-13C araliphatic, alkali metal ion or ammonium ion, R10 and R11 are each 1-10C aliphatic, 3-8C cycloaliphatic, 6-15C aromatic or 7-16C araliphatic, Rx and Ry are each H, halo, OH, 1-10C alkyl, 2-10C alkenyl, 3-8C cycloalkyl, 6-15C aryl, 7-16C aralkyl, 1-10C alkoxy, 2-10C alkenoxy, 6-10C aryloxy or 7-16C aralkoxy (opt. subst.) or OCORz, Rz is opt. subst. 1-10C alkyl, 2-10C alkenyl, 3-8C cycloalkyl, 6-15C aryl or 7-16C aralkyl and Z is opt. subst. 6-10C aryloxy thiocarbonyloxy., USE - (I) are used to treat diseases which are modified by a protein or viruses such as influenza, herpes and HIV. Dosage is e.g. 0.01-1000 mg. per day for a 70 kg. mammal., An

oligonucleotide of formula (I)  
are new. 5'-U-(O-L-O-V)<sub>n</sub>O-L-O-W-3' (I). U, V, and W = a natural or  
synthetic nucleoside,  
nucleotide or oligonucleotide at least one of which is a dinucleotide  
residue of formula  
(i); L = a nucleoside bridging group; n = 0-200; B1, B2 = a monovalent  
nucleoside base  
radical; R1 = R1a or Z; R1a, R2-R4 = H, halo or OH; R5 = R5a or Z; R8  
= R8a or Z; R5a, R8a =  
H, halo, OH, OR10, OCOR10 or silyloxy substituted by three 1-15C  
hydrocarbyl groups; R9 = H,  
1-8C aliphatic radical, 3-8C cycloaliphatic radical, 6-15C aromatic  
radical, 7-13C  
araliphatic radical, an alkali metal ion or an ammonium ion; R10 = 1-10C  
aliphatic radical,  
3-8C cycloaliphatic radical, 6-15C aromatic radical or 7-16C araliphatic  
radical; Rx, Ry =  
H, halo, OH, 1-10C alkyl, 2-10C alkenyl, 3-8C cycloalkyl, 6-15C aryl,  
7-16C aralkyl, 1-10C  
alkoxy, 2-10C alkenoxy, 6-10C aryloxy or 7-16C aralkyloxy group,  
which is optionally  
substituted, or OCORz; Rz = optionally substituted 1-10C alkyl, 2-10C  
alkenyl, 3-8C  
cycloalkyl, 6-15C aryl or 7-16C aralkyl group; and Z = 6-10C  
aryloxythiocarbonyloxy, the  
6-10C aryl group being optionally substituted.

IN: BAXTER, A D, BAYLIS, E K, COLLINGWOOD, S P, DE  
MESMAEKER, A, SCHMIT, C, TAYLOR, R  
J, MESMAEKER, A D

49. Document ID: US 5854038 A, WO 9416736 A1, AU 9459619 A,  
EP 681482 A1, JP 08505872 W, AU 9883186 A  
L5: Entry 49 of 52

File: DWPI

Dec 29, 1998

DERWENT-ACC-NO: 1994-263786  
DERWENT-WEEK: 199908  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Improving effect of viral therapeutics in vivo - by localisation with  
its target, e.g. by  
attaching to a packaging sequence, partic. for treatment of viral infections

PRIORITY-DATA:  
1993US-0007745

1998AU-0083186	January 22, 1993
1994US-0324362	September 8, 1998
	October 14, 1994

PATENT-FAMILY:  
PUB-NO

PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 5854038 A			
December 29, 1998			
	N/A		
		000	
			C12N015/86
WO 9416736 A1			
August 4, 1994			
	E		
		032	

PUB-NO	APPL-DATE	APPL-NO	APPL-DESCRIPTOR
AU 9459619 A	August 15, 1994	N/A	A61K048/00
		000	
EP 681482 A1	November 15, 1995	E	A61K048/00
		000	
JP 08505872 W	June 25, 1996	N/A	A61K048/00
		030	
AU 9883186 A	November 5, 1998	N/A	A61K031/70
		000	
			A61K048/00
APPLICATION-DATA:			
PUB-NO	APPL-DATE	APPL-NO	APPL-DESCRIPTOR
US 5854038A	January 22, 1993	1993US-0007745	Cont of
US 5854038A	October 14, 1994	1994US-0324362	
		N/A	
WO 9416736A1	December 28, 1993	1993WO-US12657	
		N/A	
AU 9459619A	December 28, 1993	1994AU-0059619	
		N/A	
AU 9459619A			WO 9416736
			Based on
EP 681482A1	December 28, 1993	1993WO-US12657	
		N/A	
EP 681482A1	December 28, 1993	1994EP-0905553	
		N/A	
EP 681482A1			WO 9416736
			Based on
JP08505872W	December 28, 1993	1993WO-US12657	
		N/A	
JP08505872W	December 28, 1993	1994JP-0517029	
		N/A	
JP08505872W			WO 9416736
			Based on
AU 9883186A	December 28, 1993	1994AU-0059619	
			Div ex
AU 9883186A	September 8, 1998		

1998AU-0083186  
N/A

INT-CL (IPC): A61K 31/70; A61K 48/00; C12N 5/00; C12N 5/10; C12N 15/09; C12N 15/11; C12N 15/86

AB: The effect of a viral therapeutic agent (A) or its in vivo target is improved by localising (A) in vivo with its target., Also new are (A) adapted for in vivo localisation with a target., USE/ADVANTAGE - (A) Which is esp. an antisense or decoy oligonucleotide or ribozyme, is used to treat or prevent viral (e.g. HIV, herpes simplex, hepatitis B or Epstein-Ba) or other diseases. They can also be used to keep in vitro cultures virus free. Genes encoding (A) localisation agent prods. can lie used in gene therapy and to generate transgenic plants and animals resistant to viruses. The method ensures that (A) becomes localised in the same region of the cell or nucleus as its target, by delivery it to a sorting pathway than can distinguish viral nucleic acid from other nucleic acid. This increases efficiency of (A), allowing a redn. in dose and thus of side effects. Typically 0.1-0.2 g/kg/day, orally, topically, by injection to the eye or as an aerosol, partic. formulated in liposomes., The effect of a viral therapeutic agent (A) or its in vivo target is improved by localising (A) in vivo with its target., Also new are (A) adapted for in vivo localisation with a target., USE/ADVANTAGE - (A) Which is esp. an antisense or decoy oligonucleotide or ribozyme, is used to treat or prevent viral (e.g. HIV, herpes simplex, hepatitis B or Epstein-Ba) or other diseases. They can also be used to keep in vitro cultures virus free. Genes encoding (A) localisation agent prods. can lie used in gene therapy and to generate transgenic plants and animals resistant to viruses. The method ensures that (A) becomes localised in the same region of the cell or nucleus as its target, by delivery it to a sorting pathway than can distinguish viral nucleic acid from other nucleic acid. This increases efficiency of (A), allowing a redn. in dose and thus of side effects. Typically 0.1-0.2 g/kg/day, orally, topically, by injection to the eye or as an aerosol, partic. formulated in liposomes.

IN: CECH, T R, SULLENGER, B A

50. Document ID: BR 9307191 A, WO 9408004 A1, AU 9454028 A, FI 9501600 A, NO 9501307 A, EP 664833 A1, CZ 9500854 A3, JP 08504570 W, EP 664833 B1, DE 69306969 E, NZ 257434 A, ES 2096343 T3, AU 678415 B, HU 72400 T, US 5684147 A  
L5: Entry 50 of 52

File: DWPI

Mar 30, 1999

DERWENT-ACC-NO: 1994-135571  
DERWENT-WEEK: 199919  
COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Anti-sense oligo-nucleotide containing non-phosphodiester bonds

- targetted to HIV-1 gag  
region, for treatment of HIV-1

PRIORITY-DATA:  
1992US-0958135

October 5, 1992

1994US-0319823

October 7, 1994

PATENT-FAMILY:  
PUB-NO

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
BR 9307191 A	March 30, 1999	N/A	000	C12N015/11
WO 9408004 A1	April 14, 1994	E	049	C12N015/11
AU 9454028 A	April 26, 1994	N/A	000	C12N015/11
FI 9501600 A	May 10, 1995	N/A	000	C07H000/00
NO 9501307 A	June 1, 1995	N/A	000	C12N015/11
EP 664833 A1	August 2, 1995	E	000	C12N015/11
CZ 9500854 A3	March 13, 1996	N/A	000	C12N015/11
JP 08504570 W	May 21, 1996	N/A	045	C12N015/09
EP 664833 B1	December 27, 1996	E	029	C12N015/11
DE 69306969 E	February 6, 1997	N/A	000	C12N015/11
NZ 257434 A	January 29, 1997	N/A	000	C12N015/11
ES 2096343 T3	March 1, 1997	N/A	000	C12N015/11
AU 678415 B	May 29, 1997			

N/A  
 000  
 C12Q001/68  
 HU 72400 T  
 April 29, 1996  
 N/A  
 000  
 C12N015/11  
 US 5684147 A  
 November 4, 1997  
 N/A  
 020  
 C07H021/04  
  
 APPLICATION-DATA:  
 PUB-NO  
 APPL-DATE  
 APPL-NO  
 APPL-DESCRIPTOR  
 BR 9307191A  
 October 4, 1993  
 1993BR-0007191  
 N/A  
 BR 9307191A  
 October 4, 1993  
 1993WO-US09392  
 N/A  
 BR 9307191A  
 N/A  
 WO 9408004  
 Based on  
 WO 9408004A1  
 October 4, 1993  
 1993WO-US09392  
 N/A  
 AU 9454028A  
 October 4, 1993  
 1994AU-0054028  
 N/A  
 AU 9454028A  
 N/A  
 WO 9408004  
 Based on  
 FI 9501600A  
 October 4, 1993  
 1993WO-US09392  
 N/A  
 FI 9501600A  
 April 4, 1995  
 1995FI-0001600  
 N/A  
 NO 9501307A  
 October 4, 1993  
 1993WO-US09392  
 N/A  
 NO 9501307A  
 April 4, 1995  
 1995NO-0001307  
 N/A  
 EP 664833A1  
 October 4, 1993  
 1993EP-0924289  
 N/A  
 EP 664833A1  
 October 4, 1993  
 1993WO-US09392  
 N/A  
 EP 664833A1  
 N/A  
 WO 9408004  
 Based on  
 CZ 9500854A3  
 October 4, 1993  
 1995CZ-0000854  
 N/A  
 JP08504570W  
 October 4, 1993

1993WO-US09392  
 N/A  
 JP08504570W  
 October 4, 1993  
 1994JP-0509354  
 N/A  
 JP08504570W  
 N/A  
 WO 9408004  
 Based on  
 EP 664833B1  
 October 4, 1993  
 1993EP-0924289  
 N/A  
 EP 664833B1  
 October 4, 1993  
 1993WO-US09392  
 N/A  
 EP 664833B1  
 N/A  
 WO 9408004  
 Based on  
 DE69306969E  
 October 4, 1993  
 1993DE-0606969  
 N/A  
 DE69306969E  
 October 4, 1993  
 1993EP-0924289  
 N/A  
 DE69306969E  
 October 4, 1993  
 1993WO-US09392  
 N/A  
 DE69306969E  
 N/A  
 EP 664833  
 Based on  
 DE69306969E  
 N/A  
 WO 9408004  
 Based on  
 NZ 257434A  
 October 4, 1993  
 1993NZ-0257434  
 N/A  
 NZ 257434A  
 October 4, 1993  
 1993WO-US09392  
 N/A  
 NZ 257434A  
 N/A  
 WO 9408004  
 Based on  
 ES 2096343T3  
 October 4, 1993  
 1993EP-0924289  
 N/A  
 ES 2096343T3  
 N/A  
 EP 664833  
 Based on  
 AU 678415B  
 October 4, 1993  
 1994AU-0054028  
 N/A  
 AU 678415B  
 N/A  
 AU 9454028  
 Previous Publ.  
 AU 678415B  
 N/A  
 WO 9408004  
 Based on  
 HU 72400T  
 October 4, 1993  
 1993WO-US09392  
 N/A

HU 72400T

October 4, 1993

1995HU-0000995

N/A

HU 72400T

N/A

WO 9408004

Based on

US 5684147A

October 5, 1992

1992US-0958135

Cont of

US 5684147A

October 7, 1994

1994US-0319823

N/A

INT-CL (IPC): A61K 31/70; A61K 48/00; C07H 0/00; C07H 21/04; C12N 15/09; C12N 15/11; C12Q 1/68

AB: An oligonucleotide (I) having a nucleotide sequence that hybridises to at least nucleotides 324-348 of a conserved gag region of the HIV-1 genome is new, the oligonucleotide having 25-30 nucleosides linked by at least one non-phosphodiester, internucleotide linkage, the linkage rendering the oligonucleotide resistant to nuclease digestion. Also claimed are: (1) a therapeutic formulation comprising (I) in a physiologically acceptable carrier; (2) a therapeutic formulation comprising (I) and a second anti-HIV-1 antisense oligonucleotide; (3) a method of inhibiting the proliferation of HIV-1 comprising: (a) providing the formulation of (1); and (b) treating HIV-1 infected cells with the formulation to enable the binding of (I) to the gag region of any HIV-1 proviral DNA or mRNA in the infected cells thus causing inhibition of proliferation of HIV-1. Gag is part of the structural gene of HIV-1 which is common to all retroviruses. Sequences situated around the gag initiation codon are known to be essential for viral packaging. USE - (I) binds to target DNA or RNA and inhibits initiation of DNA replication and DNA expression, inhibiting viral packaging by disrupting the secondary structure of its DNA. (I) is more specific, less toxic and has greater nuclease resistance than many other chemotherapeutic agents designed to inhibit HIV-1 replication. (I) are also more active in inhibiting viral replication than other known antisense oligonucleotides containing the same nucleotide sequence without the non-phosphodiester linkages. An oligonucleotide having a nucleotide sequence which is complementary to at least nucleotides 324 to 348 of the conserved gag region of the HIV-1 genome, the oligonucleotide having 25 to 30 nucleotides linked by at least one non-phosphodiester internucleotide linkage, the linkage enhancing the oligonucleotide resistance to nuclease digestion. The oligonucleotide having the nucleotide sequence CTCTCGCACC CATCTCTCTC CTTCT is new.

IN: AGRAWAL, S, TANG, J Y, TANG, J

51. Document ID: WO 9403596 A1, AU 9347945 A

L5: Entry 51 of 52

File: DWPI

Feb 17, 1994

DERWENT-ACC-NO: 1994-065685

DERWENT-WEEK: 199408

COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: New antisense viruses and anti:sense-ribozyme viruses - used for treating or preventing viral infections, partic. HIV-1, HIV-2 or SIV infection

PRIORITY-DATA:

1992US-0921104

July 30, 1992

PATENT-FAMILY:

PUB-NO

PUB-DATE

LANGUAGE

PAGES

MAIN-IPC

WO 9403596 A1

February 17, 1994

E

167

C12N015/00

AU 9347945 A

March 3, 1994

N/A

000

C12N015/00

APPLICATION-DATA:

PUB-NO

APPL-DATE

APPL-NO

APPL-DESCRIPTOR

WO 9403596A1

July 30, 1993

1993WO-US07179

N/A

AU 9347945A

July 30, 1993

1993AU-0047945

N/A

AU 9347945A

N/A

WO 9403596

Based on

INT-CL (IPC): A01N 63/00; A61K 37/00; A61K 39/12; C12N 1/20; C12N 5/00; C12N 7/00; C12N 15/00; C12P 19/34; C12P 21/06

AB: An antisense virus is claimed comprising (a) a viral coat sufficiently duplicative of a naturally occurring virus (NOV) viral coat to allow the infectivity of the NOV, and (b) nucleic acid including an antisense fragment (ASF) which is antisense to a section of a gene encoding a transactivating protein (TAP) required for the NOV to replicate, the ASF encoding antisense RNA capable of binding and inactivating mRNA encoded by the gene encoding a TAP. Also claimed is an antisense-ribozyme virus comprising an antisense virus in which the ASF also encodes at least one ribozyme capable of cleaving the mRNA. USE/ADVANTAGE - Antisense RNAs expressed by the antisense viruses bind to the mRNAs expressed by the NOVs and prevent the mRNAs from being translated into proteins, thereby preventing the NOV from replicating. The antisense viruses maintain the

infectivity of the

NOVs, allowing antisense RNAs to reach the mRNAs of the natural viruses. In the

antisense-ribozyme viruses, the ability of ribozymes to cleave RNA plus the binding

specificity of antisense RNA gives them the ability to eliminate the natural viruses. The

antisense viruses and antisense-ribozyme viruses are used for treating or preventing a viral infection, partic. HIV-1, HIV-2 or SIV infection (claimed).

IN: HU, W, WANG, J

52. Document ID: US 5512438 A, WO 9402637 A1, AU 9346743 A, EP 652972 A1, JP 07508656 W, EP 652972 A4  
L5: Entry 52 of 52

File: DWPI

Apr 30, 1996

DERWENT-ACC-NO: 1994-048894

DERWENT-WEEK: 199623

COPYRIGHT 2000 DERWENT INFORMATION LTD

TITLE: Modulating expression of RNA - by using an oligo:nucleotide capable of forming a pseudo-half-knot with a stem-loop structure of the RNA

PRIORITY-DATA:

1992US-0916764

July 20, 1992

1994US-0176314

January 3, 1994

PATENT-FAMILY:

PUB-NO

PUB-DATE

LANGUAGE

PAGES

MAIN-IPC

US 5512438 A

April 30, 1996

N/A

019

C07H021/04

WO 9402637 A1

February 3, 1994

N/A

041

C12Q001/68

AU 9346743 A

February 14, 1994

N/A

000

C12Q001/68

EP 652972 A1

May 17, 1995

E

000

C12Q001/68

JP 07508656 W

September 28, 1995

N/A

011

C12Q001/68

EP 652972 A4

September 20, 1995

N/A

000

C12Q001/68

APPLICATION-DATA:

PUB-NO

APPL-DATE

APPL-NO

APPL-DESCRIPTOR

US 5512438A

July 20, 1992

1992US-0916764

Cont of

US 5512438A

January 3, 1994

1994US-0176314

N/A

WO 9402637A1

July 12, 1993

1993WO-US06546

N/A

AU 9346743A

July 12, 1993

1993AU-0046743

N/A

AU 9346743A

July 12, 1993

1993WO-US06546

N/A

AU 9346743A

N/A

WO 9402637

Based on

EP 652972A1

July 12, 1993

1993EP-0917122

N/A

EP 652972A1

July 12, 1993

1993WO-US06546

N/A

EP 652972A1

N/A

WO 9402637

Based on

JP07508656W

July 12, 1993

1993WO-US06546

N/A

JP07508656W

July 12, 1993

1994JP-0504517

N/A

JP07508656W

N/A

WO 9402637

Based on

EP 652972A4

N/A

1993EP-0917122

N/A

INT-CL (IPC): A61K 31/70; A61K 48/00; C07H 21/02; C07H 21/04; C12Q 1/68; C12Q 1/70

AB: Preparing an oligonucleotide (ON) capable of hybridising with a selected RNA having at least one stem-loop structure (SLS) comprises (a) selecting an ON complementary to the SLS, (b) determining the ability of the selected ON to form a pseudo-half-knot (PHK) with the SLS and (c) if the selected ON is determined to be capable of forming a PHK with the SLS, synthesising the selected ON. USE - The ONs are used to modulate RNA activity for antisense therapeutic or prophylactic treatment of conditions or diseases, e.g. HIV infection. In an example, to determine if oligonucleotides could compete

with tat 25 for

HIV TAR, 32p labelled TAR was incubated with tat 25 and/or a loop  
1-forming oligonucleotide

12-mer (CGGACCCUCGAG). Gel shift experiments showed that the ON  
completely displaced the tat

25 from TAR and formed a pseudo-half-knot. At higher peptide concns.,  
second (non-bulge)

site binding occurred and the pseudo-half-knot complex was shifted to a  
higher location on

the gel with the ON remaining attached. Identical results were obtd. with  
a Loop 2-forming

12-mer. A new method for preparing an oligonucleotide having at least  
12 contiguous

nucleotide units capable of binding to a selected RNA having at least one  
stem-loop

structure comprising: a) selecting an oligonucleotide sequence having at  
least 12

contiguous nucleotide units complementary to the loop portion of either  
the 3' or 5' side of

said stem-loop structure; b) determining the ability of the selected  
oligonucleotide to

form a pseudo-half-knot with said stem-loop structure; and, c) if the  
selected

oligonucleotide is determined to be capable of forming a pseudo-half-knot  
with said

stem-loop structure, synthesizing the selected oligonucleotide.

IN: ECKER, D